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FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS, LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

L1 23587 S AUR##
L2 2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L3 454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
L4 135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
L5 576263 S L3 OR L4
L6 26 S L2 AND L5
L7 12 DUP REM L6 (14 DUPLICATES REMOVED)
L8 144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
L9 54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L10 45 DUP REM L9 (9 DUPLICATES REMOVED)
L11 37 S L10 AND (TREAT? OR DISEASE?)
L12 37 DUP REM L11 (0 DUPLICATES REMOVED)
E PLOWMAN G/AU
L13 657 S E3-E10
E MOSSIE K/AU
L14 73 S E3-E5
L15 8 S L8 AND L14
L16 7 DUP REM L15 (1 DUPLICATE REMOVED)
L17 49 S L9 AND KINASE?
L18 42 DUP REM L17 (7 DUPLICATES REMOVED)
L19 37 S L18 AND (TREAT? OR DISEASE?)
L20 37 DUP REM L19 (0 DUPLICATES REMOVED)

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AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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=> s AUR##

L1 23587 AUR##

=> s l1 and (modulator? or activat? or inhibit?)

L2 2417 L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)

=> s (colon or breast or ovarian or bladder) and tumor?

L3 454118 (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?

=> s (glioma? or medulloblastoma? or chondrosarcoma? or pancreatic) and tumor?

L4 135512 (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC)
AND TUMOR?

=> s l3 or l4

L5 576263 L3 OR L4

=> s l2 and l5

L6 26 L2 AND L5

=> dup rem l6

PROCESSING COMPLETED FOR L6

L7 12 DUP REM L6 (14 DUPLICATES REMOVED)

=> d 1-12 ibib ab

L7 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:796422 HCAPLUS

DOCUMENT NUMBER: 139:286390

TITLE: Platelet-**activating** factor antagonists as
analgesic, anti-inflammatory, uterine contraction
inhibiting, and anti-**tumor** agents

INVENTOR(S): Teather, Lisa A.; Wurtman, Richard J.; Magnusson, Jane
E.

PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082199	A2	20031009	WO 2003-US9258	20030327
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-367488P P 20020327
US 2002-367489P P 20020327

AB Antagonists to platelet-**activating** factor provide analgesic effects as well as limit the release of inflammatory mediators. Use of these antagonists in the form of pharmaceutical compns. or nutritional is beneficial (1) in the treatment of acute and/or chronic pain; (2) in the **inhibition** of inappropriate or excessive contraction of the uterus; (3) in the treatment of septic shock; and (4) in the **inhibition** of angiogenesis and/or **tumor** cell proliferation.

L7 ANSWER 2 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2003:205217 BIOSIS
DOCUMENT NUMBER: PREV200300205217
TITLE: Targeting aurora2 kinase in oncogenesis: A structural bioinformatics approach to target validation and rational drug design.
AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von Hoff, Daniel D.; Mahadevan, Daruka [Reprint Author]
CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, 1515 North Campbell Avenue, Tucson, AZ, 85724, USA
SOURCE: dmahadevan@azcc.arizona.edu
Molecular Cancer Therapeutics, (March 2003) Vol. 2, No. 3, pp. 283-294. print.
ISSN: 1535-7163 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Apr 2003
Last Updated on STN: 10 Jun 2003

AB The aurora kinases are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid **tumors**, including pancreas and colorectal cancer. A PSI-BLAST search (National Center for Biotechnology Information (NCBI)) with the sequence of the S/T kinase domain of human auroral kinase (also known as **AUR1**, ARK2, AIK2, AIM-1, and STK12) and human aurora2 kinase (also known as **AUR2**, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine CAMP-dependent kinase (Brookhaven Protein Data Bank code 1CDK), murine CAMP-dependent kinase (1APM), and Caenorhabditis elegans twitchin kinase (1KOA). When the auroral or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of auroral and aurora2 were built using 1CDK as the template structure. Molecular dynamics and docking simulations, targeting

the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small molecular S/T kinase **inhibitors**, identified active-site residues that interact with these **inhibitors** differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase **inhibitors**. **Inhibitors** with isoquinoline and quinazoline moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compounds exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-molecule **inhibitors** were qualitatively consistent with the IC50 values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and quinazoline analogues; and the screening of the available chemical database for the identification of other novel, small-molecular entities.

L7 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:488124 HCAPLUS

DOCUMENT NUMBER: 137:59517

TITLE: Human AURORA-1 and AURORA-2 kinases, cDNA and amino acid sequences, and recombinant production

INVENTOR(S): Plowman, Gregory; Mossie, Kevin

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 5,268, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002081578	A1	20020627	US 1998-12135	19980122
CN 1205740	A	19990120	CN 1996-199101	19961125
US 5962312	A	19991005	US 1996-755728	19961125
CA 2318352	AA	19990729	CA 1999-2318352	19990121
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508937	T2	20020326	JP 2000-528695	19990121
US 6207401	B1	20010327	US 1999-283011	19990331
PRIORITY APPLN. INFO.:				
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			US 1998-12135	A 19980122
			WO 1999-US1283	W 19990121

AB The invention provides protein and cDNA sequences for human AURORA-1 (AUR1) and/or AURORA-2 (AUR2), which are members of

serine/threonine kinase family contg. short N-terminal extensions. **AUR1** mRNA has been shown to be broadly expressed in rapidly dividing cells, derived from both normal and **tumor** tissues. **AUR2** mRNA, however, has been shown to be expressed in a more restricted pattern being low or absent in most normal tissues and abundant in only a subset of **tumor**-derived cell lines. The invention also demonstrated that **AUR1** and **AUR2** kinases were able to phosphorylate myelin basic protein. The invention further discussed the possible involvement of **AUR1** and **AUR2** kinases in cancer and/or other signal transduction disorders, and the possible biol., diagnostic and/or therapeutic uses of these kinases. The **AUR1** and **AUR2** genes are mapped to chromosome 17p13.1 and 20q13.2 resp. Methods for treatment, diagnosis, and screening are provided for **AUR1** and/or **AUR2** related diseases or conditions characterized by an abnormal interaction between a **AUR1** and/or **AUR2** polypeptide and a **AUR1** and/or **AUR2** binding partner.

L7 ANSWER 4 OF 12 MEDLINE on STN DUPLICATE 1
 ACCESSION NUMBER: 2002626464 MEDLINE
 DOCUMENT NUMBER: 22271802 PubMed ID: 12384797
 TITLE: Further investigation of the modifying effect of various chemopreventive agents on apoptosis and cell proliferation in human **colon** cancer cells.
 AUTHOR: Zheng Qiao; Hirose Yoshinobu; Yoshimi Naoki; Murakami Akira; Koshimizu Koichi; Ohigashi Hajime; Sakata Keiko; Matsumoto Yuji; Sayama Yoshikatsu; Mori Hideki
 CORPORATE SOURCE: First Department of Pathology, Gifu University School of Medicine, 40 Tsukasa-machi, Gifu 500-8705, Japan.. e2101002@guedu.cc.gifu-u.ac.jp
 SOURCE: JOURNAL OF CANCER RESEARCH AND CLINICAL ONCOLOGY, (2002 Oct) 128 (10) 539-46.
 Journal code: 7902060. ISSN: 0171-5216.
 PUB. COUNTRY: Germany: Germany, Federal Republic of
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 200301
 ENTRY DATE: Entered STN: 20021018
 Last Updated on STN: 20030118
 Entered Medline: 20030117
 AB PURPOSE: Recent preclinical assays using animal models have shown that naturally-occurring and synthetic chemicals such as auraptene (**AUR**), nobiletin (NOB), hesperidin (HE), diosmin (DIO), indole-3-carbinol (I3C), 1'-acetoxychavicol acetate (ACA), 2,5-di-O-acetyl-D-1,4-glucaro-6,3-dilactone (ACE), D-glucuronic acid gamma-lactone (GL), chlorogenic acid (CGA), protocathechuic acid (PA), and sinigrin (SIN) are possible preventive agents against the development of cancer. However, the mode of action of such preventive agents remains to be elucidated. The current study, therefore, was conducted to analyze whether these agents induce apoptosis and/or **inhibit** DNA synthesis in human colorectal cancer cell lines. METHODS: We performed an 3-(4,5-dimethylthiazol-2-yl)-5-(3-carboxymethoxyphenyl)-2-(4-sulfophenyl)-2H-tetrazolium assay to evaluate the modifying effects of the chemicals on cell viability as the first screening. Then, induction of apoptosis was detected by means of a DNA fragmentation assay, a quantitative enzyme immunoassay, and morphological analysis using 4-diamidino-2-phenylindole staining. In addition, the modulating effects of the compounds on DNA synthesis of the cells with fixed doses of the compounds were analyzed by scoring the 5-bromo-2'-deoxyuridine labeling index. RESULTS: **AUR**, NOB, I3C, ACA, and ACE had apoptosis-inducing effects in a concentration- and time-dependent manner, some of which were followed by a reduction in replicating DNA synthesis. CGA, PA, SIN, GL, DIO, and HE had little modulating effect on cell viability, apoptosis, and DNA synthesis in this

cell system. CONCLUSIONS: Our results suggest that **AUR**, I3C, ACA, NOB, and ACE might exert **tumor**-preventive action through apoptosis- and/or cell proliferation-dependent mechanisms and, on the other hand, CGA, PA, SIN, HE, DIO, and GL might be apoptosis- and cell proliferation-independent. These assays provided an initial tool for further mechanical studies of **tumor**-preventive agents and future applications to mechanism-based chemopreventive studies.

L7 ANSWER 5 OF 12 EMBASE COPYRIGHT 2003 ELSEVIER INC. ALL RIGHTS RESERVED.
on STN

ACCESSION NUMBER: 2001309682 EMBASE
TITLE: Acute urinary retention and urinary incontinence.
AUTHOR: Curtis L.A.; Dolan T.S.; Cespedes R.D.
CORPORATE SOURCE: Dr. L.A. Curtis, Department of Emergency Medicine, Medstar Georgetown Medical Center, 3800 Reservoir Road, NW, Washington, DC 20007, United States
SOURCE: Emergency Medicine Clinics of North America, (2001) 19/3 (591-619).
Refs: 69
ISSN: 0733-8627 CODEN: EMCAD7
COUNTRY: United States
DOCUMENT TYPE: Journal; General Review
FILE SEGMENT: 009 Surgery
028 Urology and Nephrology
037 Drug Literature Index
038 Adverse Reactions Titles
LANGUAGE: English
SUMMARY LANGUAGE: English

AB **AUR** is a commonly seen genitourinary emergency. It has many etiologies, including obstructive, neurogenic, pharmacologic, and extraurinary causes. Treatment is immediate **bladder** decompression by transurethral catheterization and treatment of the provoking etiology. Urinary incontinence is less commonly seen as a presenting complaint in the ED. For the emergency physician, the key lies in recognizing its underlying cause. Neurologic and pharmacologic causes need to be considered in all patients. Urinary incontinence that is not caused by a neurologic emergency can be referred for further outpatient evaluation.

L7 ANSWER 6 OF 12 MEDLINE on STN DUPLICATE 2

ACCESSION NUMBER: 2001018348 MEDLINE
DOCUMENT NUMBER: 20477926 PubMed ID: 11023542
TITLE: Suppression by citrus auraptene of phorbol ester-and endotoxin-induced inflammatory responses: role of attenuation of leukocyte **activation**.
AUTHOR: Murakami A; Nakamura Y; Tanaka T; Kawabata K; Takahashi D; Koshimizu K; Ohigashi H
CORPORATE SOURCE: Department of Biotechnological Science, Faculty of Biology-Oriented Science and Technology, Kinki University, Iwade-Uchita, Wakayama 649-6493, Japan.
SOURCE: CARCINOGENESIS, (2000 Oct) 21 (10) 1843-50.
Journal code: 8008055. ISSN: 0143-3334.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200011
ENTRY DATE: Entered STN: 20010322
Last Updated on STN: 20010322
Entered Medline: 20001103

AB Auraptene (**AUR**), a citrus coumarin derivative, is one of the promising chemopreventive agents against skin, tongue, esophagus and **colon** carcinogenesis in rodents. We reported previously that **AUR** suppresses superoxide anion (O(2)(-)) generation from

inflammatory leukocytes in in vitro experiments. In the present study, we investigated the anti-inflammatory activities of **AUR** using a 12-O:-tetradecanoylphorbol-13-acetate-treated mouse skin model, and compared them with those of umbelliferone (UMB), a structural analog of **AUR** that is virtually inactive toward O(2-) generation **inhibition**. Double pre-treatments of mouse skin with **AUR**, but not UMB, markedly suppressed edema formation, hydrogen peroxide production, leukocyte infiltration, and the rate of proliferating cell nuclear antigen-stained cells. These **inhibitory** effects by **AUR** are attributable to its selective blockade of the **activation** stage, as revealed by single pre-treatment experiments. In a murine macrophage line, RAW 264.7, **AUR** significantly attenuated the lipopolysaccharide-induced protein expression of inducible isoforms of both nitric oxide synthase and cyclooxygenase, with decreased production of nitrite anion and prostaglandin E(2), and yet suppressed the release of **tumor** necrosis factor-alpha. Conversely, UMB did not show any **inhibitory** effect. This contrasting activity profile between **AUR** and UMB was rationalized to be a result of their distinct differences in cellular uptake efficiencies, i.e. the geranyloxyl group in **AUR** was found to play an essential role in incorporation. Thus, our findings indicate that **AUR** is an effective agent to attenuate the biochemical responsiveness of inflammatory leukocytes, which may be essential for a greater understanding of the action mechanism that underlies its **inhibition** of inflammation-associated carcinogenesis.

L7 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:487404 HCAPLUS

DOCUMENT NUMBER: 131:126397

TITLE: AURORA proteins with sequence similarity to protein tyrosine kinases and cDNAs encoding them and their diagnostic and therapeutic uses

INVENTOR(S): Plowman, Gregory D.; Mossie, Kevin

PATENT ASSIGNEE(S): Sugan, Inc., USA

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002081578	A1	20020627	US 1998-12135	19980122
CA 2318352	AA	19990729	CA 1999-2318352	19990121
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002508937	T2	20020326	JP 2000-528695	19990121
PRIORITY APPLN. INFO.:			US 1998-12135	A 19980122
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125

AB Two novel proteins, AURORA-1 (**AUR**-1) and AURORA-2 (**AUR**-2) that are members of the protein tyrosine kinase sequence family are identified and cDNAs encoding them are cloned. The proteins may play a role in disease and methods of using them in the diagnosis of disease and in screening for effectors that may be of therapeutic use are described. The cDNAs were cloned by PCR from an array of human tissue mRNAs with primers derived from strongly conserved sequences of protein tyrosine kinases. Sequence comparison showed them to be most similar to the Drosophila AURORA gene product. The cDNAs were expressed in COS cells with proteins with mol. wts. consistent with those predicted from the amino acid sequence obtained. The genes were widely expressed in a no. of normal tissues and in colorectal cancers. **AUR**-2 was mapped to an amplicon of chromosome 20 assocd. with **tumors** and overexpression of wild-type and mutant **AUR**-2 genes in rat fibroblasts led to an **activating** mutant causing neoplastic transformation.

ACCESSION NUMBER: 1999026053 EMBASE
TITLE: Antitumour effects of ursolic acid isolated from
Oldenlandia diffusa.
AUTHOR: Kim S.H.; Ahn B.-Z.; Ryu S.Y.
CORPORATE SOURCE: S.H. Kim, Oriental Medical College, TaeJon University,
Taejeon 300-716, Korea, Republic of.
sungkim@dragon.ajon.ac.kr
SOURCE: Phytotherapy Research, (1998) 12/8 (553-556).
Refs: 9
ISSN: 0951-418X CODEN: PHYREH
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 016 Cancer
030 Pharmacology
037 Drug Literature Index
LANGUAGE: English
SUMMARY LANGUAGE: English

AB The cytotoxicity-guided fractionation of the MeOH extract of *Oldenlandia diffusa* (Rubiaceae) led to the isolation of ursolic acid (UA) as an active principle. Ursolic acid demonstrated a significant **inhibition** of the proliferation of cultured tumour cells, i.e. A549 (human lung), SK-OV-3 (ovary), SK-MEL-2 (skin), XF498 (brain), HCT-15 (**colon**), SNU-1 (stomach), L1210 (murine leukaemia) and B16-F0 (murine melanoma). A marked increment of T/C (> 200%) was also observed when UA was administered to mice bearing sarcoma-180 cells. The microscopic analyses (phase contrast microscope and TEM) of SNU-1 cell after continuous exposure to UA for 4 and 24 h showed typical morphological changes of the cell due to an apoptotic effect. The nucleosomal DNA of HL60 cells pretreated with UA was cleaved into several oligomeric fragments which was due to a typical apoptotic effect. However, the in vitro cytotoxic effect of UA on tumour cells was decreased in a dose dependent manner by the addition of nicotinamide, a poly(ADP-ribose) polymerase **inhibitor**, or **aurin** tricarboxylic acid (ATA), an endonuclease **inhibitor**. These results suggested that the cytotoxicity of UA or the apoptotic effect of UA on tumour cells might be related to the **activation** of the endonucleolytic enzyme and subsequent **activation** of poly(ADP-ribose) polymerase in tumour cells and these could eventually lead to cell lysis.

L7 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:103958 HCAPLUS
DOCUMENT NUMBER: 128:239065
TITLE: Cytotoxic effects of gold(III) complexes on

established human **tumor** cell lines sensitive
and resistant to cisplatin
AUTHOR(S): Calamai, Paola; Carotti, Stefania; Guerri, Annalisa;
Mazzei, Teresita; Messori, Luigi; Mini, Enrico;
Orioli, Pierluigi; Speroni, Gian Paolo
CORPORATE SOURCE: Dep. Chem., Univ. Florence, Florence, I-50121, Italy
SOURCE: Anti-Cancer Drug Design (1998), 13(1), 67-80
CODEN: ACDDEA; ISSN: 0266-9536
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Gold(III) complexes, isostructural and isoelectronic with platinum(II) complexes, are potentially attractive as anticancer agents. We have synthesized a group of square planar gold(III) complexes, all contg. at least two gold-chloride bonds in cis-position, and tested their in vitro cytotoxicity on a panel of established human **tumor** cell lines. Remarkably, all these compds. showed significant cytotoxic effects. In particular, the complexes contg. the salicylaldiminate ligand induced **tumor** cell growth **inhibitory** effects comparable to or even greater than cisplatin. All gold(III) complexes substantially retained their antitumor potency against two cisplatin-resistant **tumor** cell lines (CCRF-CEM/R leukemia and A2780/R **ovarian** carcinoma); only minimal cross-resistance with cisplatin was obsd. When considering the mechanism of action, it is reasonable to assume that the cytotoxicity of these gold(III) complexes derives from DNA binding. Preliminary spectroscopic results are consistent with this hypothesis; indeed, CD expts. show that both the salicylaldiminate- and the pyridine-contg. gold(III) complexes bind calf thymus DNA in vitro and alter reversibly its B-type soln. conformation. These results, however, must be treated with caution; soln. studies indicate that gold(III) compds. are poorly stable under physiol. conditions, possibly implying that, when injected, only a small amt. will reach, unchanged, the DNA target. The results of our investigations are discussed in the perspective of future work on the cytotoxic and antitumor properties of gold(III) compds.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 12 MEDLINE on STN DUPLICATE 4
ACCESSION NUMBER: 1998010967 MEDLINE
DOCUMENT NUMBER: 98010967 PubMed ID: 9350037
TITLE: **Inhibition** of proliferation of T47D human **breast** cancer cells: alterations in progesterone receptor and p53 **tumor** suppressor protein.
AUTHOR: Dinda S; Kodali-Gali S; Sevilla L; Burkley M; Hurd C; Moudgil V K
CORPORATE SOURCE: Department of Biological Sciences, Oakland University, Rochester, Michigan 48309-4401, USA.
CONTRACT NUMBER: DK-20893 (NIDDK)
SOURCE: MOLECULAR AND CELLULAR BIOCHEMISTRY, (1997 Oct) 175 (1-2) 81-9.
Journal code: 0364456. ISSN: 0300-8177.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 199712
ENTRY DATE: Entered STN: 19980109
Last Updated on STN: 19980109
Entered Medline: 19971204

AB We have investigated the influence of three structurally different but functionally related compounds [1, 10 ortho-phenanthroline (phenanthroline), Rifampicin and **aurin** tricarboxylic acid (ATA)] on the rate and the extent of proliferation of progesterone-responsive

T47D human **breast** cancer cells. These compounds have previously been used in this laboratory and have been shown to modulate properties of nucleic acid binding proteins. Because p53 and the progesterone receptor (PR) are both DNA binding proteins that appear to regulate proliferation of **breast** cells, alterations in T47D cell p53 and PR levels were examined to determine their relevance in cell proliferation. T47D cells were grown in the absence of phenol red and in the presence of 5% fetal calf serum with or without charcoal stripping in the presence of the **inhibitors**. The rate of proliferation of cells grown in Rifampicin containing medium exhibited nearly 70% **inhibition**. Phenanthroline, a known metal chelator, was an effective **inhibitor** of proliferation at 3 mM reducing the cell number by more than 75%. ATA (0.24-2.4 micrograms/ml) **inhibited** the growth of the cells by nearly 50%. Analysis of the mechanism of action of these compounds revealed that treatment with these compounds caused specific changes in the molecular composition of T47D cell PR. Whereas ATA caused increased stability of PR isoforms, Rifampicin induced a upshift in the mobility of PR in SDS gels-a phenomenon associated with hyperphosphorylation of steroid receptors (SRs). Phenanthroline treatment (> 2 mM) caused a complete down-regulation of PR and the **tumor** suppressor protein, p53. The downregulation of p53 paralleled the changes in the molecular composition of PR. We propose that the **inhibition** of T47D cell proliferation by phenanthroline, Rifampicin and ATA results from a number of cellular changes that include regulation of p53 and PR.

L7 ANSWER 11 OF 12 MEDLINE on STN
 ACCESSION NUMBER: 92033886 MEDLINE
 DOCUMENT NUMBER: 92033886 PubMed ID: 1933287
 TITLE: Brain-derived cells contain a specific binding site for Gp120 which is not the CD4 antigen.
 AUTHOR: Kozlowski M R; Sandler P; Lin P F; Watson A
 CORPORATE SOURCE: Department of Screening and Biochemical Research, Bristol-Myers Squibb Research Institute, Wallingford, CT 06492-7660.
 SOURCE: BRAIN RESEARCH, (1991 Jul 12) 553 (2) 300-4.
 Journal code: 0045503. ISSN: 0006-8993.
 PUB. COUNTRY: Netherlands
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals; AIDS
 ENTRY MONTH: 199112
 ENTRY DATE: Entered STN: 19920124
 Last Updated on STN: 19970203
 Entered Medline: 19911213

AB Infection with the human immunodeficiency virus (HIV-1) often produces a set of neuropsychiatric dysfunctions which have been termed the AIDS dementia complex. This complex appears due to the infection of brain cells by HIV-1. If so, brain cells might be expected to contain a binding site for the same viral envelope glycoprotein that enables HIV-1 to bind to other cells (e.g. CD4+ T-cells), gp120. The present study shows that the cells of the brain-derived U-138MG, U-373MG, SK-N-MC and SK-N-SH cell lines bind gp120 in an **inhibitable** fashion. Binding of gp120 to these cells is **inhibited** by the dyes Aurintricarboxylic acid (ATA) and Evans blue (EB), which are known to **inhibit** specific gp120 and HIV-1 binding, and block HIV-1 infection, in CD4-expressing cells. Binding is not **inhibited** by **Aurin**, a dye related to ATA but lacking its anti-HIV effects. As expected, anti-CD4 antibodies are ineffective in blocking gp120 binding to brain-derived cells. These results suggest that human brain-derived cells possess a specific binding site for gp120 that is not the CD4 antigen.

L7 ANSWER 12 OF 12 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
 ACCESSION NUMBER: 1987:379988 BIOSIS
 DOCUMENT NUMBER: PREV198784066485; BA84:66485

TITLE: STIMULATION OF TRANSCRIPTION AND TRANSLATION BY
AURIN TRICARBOXYLIC ACID IN MITOCHONDRIAL LYSATES
 FROM EHRLICH ASCITES CELLS.

AUTHOR(S): KULKARNI G R [Reprint author]; KANTHARAJ G R; FLUELLEN C;
 NIRANJAN B G; AVADHANI N G

CORPORATE SOURCE: LAB BIOCHEM, DEP ANIM BIOL, SCH VET MED, UNIV PENNSYLVANIA,
 PHILADELPHIA, PA 19104-6046, USA

SOURCE: Biochemical and Biophysical Research Communications, (1987)
 Vol. 145, No. 3, pp. 1149-1157.
 CODEN: BBRCA9. ISSN: 0006-291X.

DOCUMENT TYPE: Article

FILE SEGMENT: BA

LANGUAGE: ENGLISH

ENTRY DATE: Entered STN: 5 Sep 1987
 Last Updated on STN: 5 Sep 1987

AB We have prepared a submitochondrial fraction from Ehrlich ascites
tumor cell mitochondria which shows transcription and translation
 activities. The antibiotic **aurin** tricarboxylic acid (ATA) at
 low concentrations induces both RNA and protein synthetic activities of
 the mitochondrial lysate by several fold. At high concentrations,
 however, ATA **inhibits** the translation activity but continues to
 stimulate the transcription activity in a dose dependent manner up to 0.5
 mM concentration tested. The lysate system transcribes endogenous DNA
 yielding RNA species resembling control mitochondrial RNA and synthesizes
 authentic cytochrome oxydase I and cytochrome oxidase II subunits.

=> d his

(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS,
 LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

L1 23587 S AUR##
 L2 2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
 L3 454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
 L4 135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
 L5 576263 S L3 OR L4
 L6 26 S L2 AND L5
 L7 12 DUP REM L6 (14 DUPLICATES REMOVED)

=> s "aur 1" or "aur 2" or "aurora 1" or "aurora 2"

L8 144 "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"

=> s l8 and (modulator? or activat? or inhibit?)

L9 54 L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)

=> dup rem l9

PROCESSING COMPLETED FOR L9

L10 45 DUP REM L9 (9 DUPLICATES REMOVED)

=> d 1-45 ibib ab

L10 ANSWER 1 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:892555 HCAPLUS

TITLE: Crystal structure of **Aurora-2**
 protein and binding pockets thereof

INVENTOR(S): Cheetham, Graham; Knegetel, Ronald; Swenson, Lovorka;
 Coll, Joyce T.; Renwick, Suzanne; Weber, Peter

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 242 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092607	A2	20031113	WO 2003-US13605	20030501
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-377510P P 20020501

AB The present invention provides crystalline molecules or molecular complexes which comprise binding pockets of **Aurora-2** or its homologues. The invention also provides crystals comprising **Aurora-2**. The present invention also relates to a computer comprising a data storage medium encoded with the structural coordinates of **Aurora-2** binding pockets and methods of using a computer to evaluate the ability of a compound to bind to the molecule or molecular complex. This invention also provides methods of using the structure coordinates to solve the structure of homologous proteins or protein complexes. In addition, this invention provides methods of using the structure coordinates to screen for and design compounds, including **inhibitory** compounds, that bind to **Aurora-2** or homologues thereof.

L10 ANSWER 2 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:875281 HCAPLUS

TITLE: Preparation of pyrrole derivatives as **inhibitors** of ERK2

INVENTOR(S): Hale, Michael R.; Maltais, Francois; Tang, Qing; Straub, Judith; Aronov, Alexander

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091246	A1	20031106	WO 2003-US13186	20030425
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-376259P P 20020426

US 2002-403853P P 20020814

AB Pyrroles I [A1, A2 = N, (un)substituted CH; T = bond, (un)substituted CH2, CO, COCO, CONH, CONHNH, CO2, O2C, NHCO, O, NHCONH, O2CNH, NHHNH, NHCO2, S,

S(O), SO₂, NH, SO₂NH, NHSO₂, NHSO₂NH; X = CO, (un)substituted CONH, NHCO, NHSO₂, SO₂NH, S(O), SO₂; R₁ = H, CN, halogen, (un)substituted NH₂, OH, aliph., cycloaliph., arom., heterocyclic, heteroarom.; R₂ = (un)substituted alkyl, NH₂, ONH₂, NHOH, OH, CO₂H, SH, NHCONH₂, CONH₂, SO₂H, NHSO₂H, SO₂NH₂, acyl, aliph., cycloaliph., arom., heterocyclic, heteroarom.; R₃ = aliph., cycloaliph., arom., heterocyclic, heteroarom., (un)substituted OH, SH, S(O)H, SO₂H, ONH₂, NH₂, NHNH₂, NHOH; R₄ = H, (un)substituted aliph., CO₂H, SO₂H, acyl; R₅, R₆ = CN, NO₂, halogen, (un)substituted NH₂, SH, OH, aliph.] were prepd. The compds. are esp. useful as **inhibitors** of ERK2, Aurora2, GSK3, CDK2, AKT3, and ROCK protein kinases for treating diseases such as cancer, neurodegenerative disorders, inflammatory disorders, restenosis, diabetes, and cardiovascular disease. Thus, 2-trichloroacetylpyrrole was converted to the 4-(3-methoxypropionyl) deriv. and then to the amide with (S)-phenylglycinol. The amide was treated with Bredereck's reagent and cyclized with benzamidine to give I [A₁ = N, A₂ = CH, T = bond, R₁ = Me, R₃ = Ph, R₄-R₆ = H, XR₂ = (S)-CONHCHPhCH₂OH. II]. II had K_i < 0.1 .mu.M for **inhibition** of ERK2.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757703 HCAPLUS

DOCUMENT NUMBER: 139:255408

TITLE: Azolylaminoazines as **inhibitors** of protein kinases, and their therapeutic use

INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay, David; Knegetel, Ronald; Miller, Andrew; Pierard, Francoise

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078427	A1	20030925	WO 2003-US8125	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-364864P P 20020315

OTHER SOURCE(S): MARPAT 139:255408

AB The invention discloses azolylaminoazine compds. useful as **inhibitors** of protein kinases. The invention also discloses pharmaceutically acceptable compns. comprising the compds. and methods of using the compns. in the treatment of various diseases, conditions, or disorders.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757702 HCAPLUS

DOCUMENT NUMBER: 139:255407

TITLE: Azolylaminoazine compounds as **inhibitors** of
protein kinases, and their therapeutic use
INVENTOR(S): Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon;
Golec, Julian M. C.; Kay, David; Knegtel, Ronald;
Miller, Andrew; Pierard, Francoise; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078426	A1	20030925	WO 2003-US7904	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-364840P P 20020315

OTHER SOURCE(S): MARPAT 139:255407

AB The invention provides azolylaminoazine compds. useful as
inhibitors of protein kinases. The invention also provides
pharmaceutically acceptable compns. comprising the compds. and methods of
using the compns. in the treatment of various diseases, conditions, and
disorders.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757700 HCAPLUS

DOCUMENT NUMBER: 139:276913

TITLE: Preparation of thiazolylaminopyrimidines and related
compounds as **inhibitors** of protein kinases

INVENTOR(S): Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA; Binch, Hayley;
Charrier, Jean-Damien; Everitt, Simon; Golec, Julian
M. C.; Kay, David; Knegtel, Ronald; Miller, Andrew;
Pierard, Francoise; et al.

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078423	A1	20030925	WO 2003-US7958	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,				

NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364842P P 20020315

AB Title compds. I [X = O, S, (un)substituted NH; Y = N, (un)substituted CH;
one of Z1 and Z2 = (un)substituted CH, the other is N; Q = (un)substituted
NH, CH2, S, O, bond; D = aryl, heteroaryl] were prepd. for use as
inhibitors of GSK-3, **Aurora-2**, or Src protein
kinases (no data). Thus, the quinazoline II was obtained by chlorinating
4-quinazolinone and reaction with 2-aminothiazole.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757527 HCAPLUS

DOCUMENT NUMBER: 139:255405

TITLE: Azinylaminoazoles as **inhibitors** of protein
kinases, and their therapeutic use

INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier,
Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay,
David; Knegtel, Ronald; Miller, Andrew; Pierard,
Francoise

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077921	A1	20030925	WO 2003-US7957	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-365003P P 20020315

OTHER SOURCE(S): MARPAT 139:255405

AB The invention provides azinylaminoazole compds. useful as
inhibitors of protein kinases. The invention also provides
pharmaceutically acceptable compns. comprising the compds. and methods of
using the compns. in the treatment of various diseases, conditions, or
disorders.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:356438 HCAPLUS

DOCUMENT NUMBER: 138:353830

TITLE: Heteroaromatic carboxamide derivatives, particularly
3-aminothiophene-2-carboxamides, useful as protein
kinase **inhibitors**, for the treatment of
cancer, inflammation, and inflammation-related
disorders

INVENTOR(S): Graneto, Matthew; Hanau, Cathleen E.; Perry, Thao D.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037886	A2	20030508	WO 2002-US34801	20021030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-340816P P 20011030

OTHER SOURCE(S): MARPAT 138:353830

AB The invention relates to heteroarom. carboxamide derivs., compns. comprising them, intermediates in their prodn., methods of making the heteroarom. carboxamide derivs., and methods for treating cancer, inflammation, and inflammation-assocd. disorders, such as arthritis. Claims cover compds. H₂N-A(R₁)(R₂)-CONH₂ [I; A = 5-membered heteroarom. ring contg. 1 or 2 N/O/S atoms; R₁ = H, halo, cyano, NO₂, NH₂ or derivs., CO₂H or derivs., (un)substituted alkyl, aryl, or derivs., etc.; R₂ = (un)substituted aryl or 5- to 7-membered N/O/S heteroaryl; or R₁R₂ = 5- or 6-membered, (un)substituted, (un)satd. ring, or (un)substituted 5- to 7-membered heteroarom. ring]. Claimed uses include treatment of cancer, inflammation, arthritis, pain, and fever. Methods of **inhibition** of a variety of specific protein kinases are also claimed, particularly **inhibition** of IKK₂, IKK.alpha./IKK.beta. heterodimer, TBK, and IKKi. Prepns. and activities are given for 34 compds. I, including 33 thiophenecarboxamides and 1 benzo[b]thiophenecarboxamide deriv. For instance, 3'-methoxyacetophenone reacted with PCl₃ in DMF followed by NH₂OH.HCl (exothermic) to give the phenylacrylonitrile deriv. 3-MeOC₆H₄C(Cl):CHCN. Cyclization of this with HSCH₂CONH₂ using NaOMe in MeOH gave title compd. II. In an IKK heterodimer enzyme assay, II had an IC₅₀ in the range of 1-10 .mu.M.

L10 ANSWER 8 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:117622 HCAPLUS

DOCUMENT NUMBER: 138:170229

TITLE: Preparation of pyrazolone derivatives as **inhibitors** of GSK-3, **Aurora-2** and CDK-2

INVENTOR(S): Green, Jeremy; Arnost, Michael J.; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011287	A1	20030213	WO 2002-US24726	20020802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-309838P P 20010803

OTHER SOURCE(S): MARPAT 138:170229

AB The present invention relates to pyrazolones (shown as I; variables defined below; e.g. 4-[(3-benzyloxyphenylamino)methylene]-5-(3,4-dimethoxyphenyl)-2,4-dihydropyrazol-3-one) that are useful as glycogen synthase kinase-3, **Aurora-2** protein kinase and cyclin-dependent kinase-2 **inhibitors** (pharmacol. results included). The invention also relates to methods of using I or pharmaceutical compns. comprising I to **inhibit** the enzymes. The invention further provides methods of using these compds. and pharmaceutical compns. in the treatment and prevention of various disorders, such as diabetes and Alzheimer's disease. Although the methods of prepn. are not claimed, .apprx.12 example prepn. are included and characterization data are included for .apprx.200 I. For I: R1 = H, alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, -CN, -C(O)R, -CO2R, or -CON(R)2; R2 = H, alkyl, carbocyclyl, heterocyclyl, aryl, or heteroaryl; X is O, S or -NH; Y is N or CH; each R = H, alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, or any two R groups taken together form a carbocyclyl, heterocyclyl, aryl or heteroaryl group; each R' = H, alkyl, carbocyclyl, heterocyclyl, aryl, heteroaryl, or any two R' groups taken together form a carbocyclyl, heterocyclyl, aryl or heteroaryl group; addnl. conditions are given in the claims.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:5957 HCAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase **inhibitors**

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S): Aventis Pharma Limited, UK

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,			

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: GB 2001-15109 A 20010621
US 2001-300257P P 20010622

OTHER SOURCE(S): MARPAT 138:55984

AB The invention is directed to physiologically active azaindoles (shown as I; variables defined below; e.g. 6-(5-methoxy-1-methyl-1H-indol-3-yl)-5H-pyrrolo[2,3-b]pyrazine) and compounds containing such compounds; and their prodrugs, and pharmaceutically acceptable salts and solvates of such compounds and their prodrugs. Such compounds and compounds have valuable pharmaceutical properties, in particular the ability to **inhibit** kinases, esp. Syk, FAK, KDR, Aurora2 and IGF1R (data given in general rather than for specific I). Although the methods of preparation are not claimed, >100 example preparations of intermediates and I are included. For I: R1 = aryl or heteroaryl each optionally substituted by gtoreq.1 groups = alkylenedioxy, alkenyl, alkenyloxy, alkynyl, aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, R4, -C(O)R, -C(O)OR5, -C(O)NY1Y2, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R. R2 = H, acyl, cyano, halo, lower alkenyl, -Z2R4, -SO2NY3Y4, -NY1Y2 or lower alkyl optionally substituted by aryl, cyano, heteroaryl, heterocycloalkyl, hydroxy, -Z2R4, -C(O)NY1Y2, -C(O)R, -CO2R8, -NY3Y4, -N(R6)C(O)R, -N(R6)C(O)NY1Y2, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and gtoreq.1 halogen atoms. R3 = H, aryl, cyano, halo, heteroaryl, lower alkyl, -Z2R4, -C(O)OR5 or -C(O)NY3Y4. R4 = alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and gtoreq.1 hydroxy, alkoxy and carboxy. R5 = H, alkyl, alkenyl, aryl, arylalkyl, heteroaryl or heteroarylalkyl. R6 = H or lower alkyl; R7 = alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroarylalkyl, heterocycloalkyl or heterocycloalkylalkyl; R8 = H or lower alkyl. R = aryl or heteroaryl; alkenyl; or alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl or heterocycloalkylalkyl each optionally substituted by aryl, cycloalkyl, cyano, halo, heteroaryl, heterocycloalkyl, -CHO (or a 5- 6- or 7-membered cyclic acetal deriv. thereof), -C(O)NY1Y2, -C(O)OR5, -NY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -Z3R7 and gtoreq.1 hydroxy, alkoxy and carboxy. X1 = N, CH, C-aryl, C-heteroaryl, C-heterocycloalkyl, C-heterocycloalkenyl, C-halo, C-CN, C-R4, CNY1Y2, COH, CZ2R, CC(O)R, CC(O)OR5, CC(O)NY1Y2, CN(R8)C(O)R, CN(R6)C(O)OR7, CN(R6)C(O)NY3Y4, CN(R6)SO2NY3Y4, CN(R6)SO2R, CSO2NY3Y4, C-NO2, or C-alkenyl or C-alkynyl optionally substituted by gtoreq.1 aryl, cyano, halo, hydroxy, heteroaryl, heterocycloalkyl, nitro, -C(O)NY1Y2, -C(O)OR5, -NNY1Y2, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)C(O)OR7, -N(R6)SO2R7, -N(R6)SO2NY3Y4, -SO2NY1Y2 and -Z2R4. Y1 and Y2 = H, alkenyl, aryl, cycloalkyl, heteroaryl or alkyl optionally substituted by gtoreq.1 aryl, halo, heteroaryl, heterocycloalkyl, hydroxy, -C(O)NY3Y4, -C(O)OR5, NY3Y4, -N(R6)C(O)R7, -N(R6)C(O)NY3Y4, -N(R6)SO2R7, -N(R6)SO2NY3Y4 and -OR7, or the group -NY1Y2 may form a cyclic amine. Y3 and Y4 = H, alkenyl, alkyl, aryl, arylalkyl, cycloalkyl, heteroaryl or heteroarylalkyl; or the group -NY3Y4 may form a cyclic amine; Z1 = O or S; Z2 = O or S(O)n; Z3 = O, S(O)n, NR6; n = 0-2.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:719201 HCAPLUS

DOCUMENT NUMBER: 139:246026

TITLE: Preparation of indazoles as kinase **inhibitors**, and their compositions and use for treatment of cancer

INVENTOR(S): Damour, Dominique; Terrier, Corinne; Nemecek, Patrick

PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.

SOURCE: Fr. Demande, 61 pp.

CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2836914	A1	20030912	FR 2002-2996	20020311
WO 2003078402	A1	20030925	WO 2003-FR751	20030307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2002-2996 A 20020311

OTHER SOURCE(S): MARPAT 139:246026

AB Title compds. I [wherein R1 = H, halo, (un)substituted alk(yl/enyl/ynyl), hetero(aryl/cyclyl), CN, OH and derivs., NH2 and derivs., CO2H and derivs., CONH2 and derivs., SH and derivs., SO2H and derivs., etc.; X = SO2NH, SO3, NHSO2, OSO2; Z = (un)substituted alkyl, aryl, hetero(aryl/cyclyl), cycloalkyl; provided that certain compds. are not included; and their racemates, stereoisomers, salts and pharmaceutically acceptable salts] were prepd. as kinase **inhibitors** for treatment esp. of cancer. For example II was prepd. by TEA-acylation of 5-amino-3-phenyl-1H-indazole in THF with 2-methylsulfonylbenzenesulfonyl chloride for 30 min at 0.degree. and for 18 h at 20.degree.. II **inhibited** various 10 .mu.M kinases as follows: FAK 89%, KDR 70%, Aurora2 97%, Src 89%, and Tie2 86%. Thus, I and their pharmaceutical compns. are useful as antitumor agents (no data).

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 45 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 2003:205217 BIOSIS

DOCUMENT NUMBER: PREV200300205217

TITLE: Targeting aurora2 kinase in oncogenesis: A structural bioinformatics approach to target validation and rational drug design.

AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von Hoff, Daniel D.; Mahadevan, Daruka [Reprint Author]

CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, 1515 North Campbell Avenue, Tucson, AZ, 85724, USA
dmahadevan@azcc.arizona.edu

SOURCE: Molecular Cancer Therapeutics, (March 2003) Vol. 2, No. 3, pp. 283-294. print.
ISSN: 1535-7163 (ISSN print).

DOCUMENT TYPE: Article

LANGUAGE: English

ENTRY DATE: Entered STN: 23 Apr 2003

Last Updated on STN: 10 Jun 2003

AB The aurora kinases are a novel oncogenic family of mitotic serine/threonine kinases (S/T kinases) that are overexpressed in a number of solid tumors, including pancreas and colorectal cancer. A PSI-BLAST search (National Center for Biotechnology Information (NCBI)) with the sequence of the S/T kinase domain of human auroral kinase (also known as AUR1, ARK2, Aik2, AIM-1, and STK12) and human aurora2 kinase (also known

as AUR2, ARK1, AIK, BTAK, and STK15) showed a high sequence similarity to the three-dimensional structures of bovine cAMP-dependent kinase (Brookhaven Protein Data Bank code 1CDK), murine cAMP-dependent kinase (1APM), and *Caenorhabditis elegans* twitchin kinase (1KOA). When the auroral or aurora2 sequence was input into the tertiary structure prediction programs THREADER and 3D-PSSM (three-dimensional position-sensitive scoring matrix), the top structural matches were 1CDK, 1APM, and 1KOA, confirming that these domains are structurally conserved. The structural models of auroral and aurora2 were built using 1CDK as the template structure. Molecular dynamics and docking simulations, targeting the ATP binding site of aurora2 with adenylyl imidodiphosphate (AMP-PNP), staurosporine, and six small molecular S/T kinase **inhibitors**, identified active-site residues that interact with these **inhibitors** differentially. The docked structures of the aurora2-AMP-PNP and aurora2-staurosporine complexes indicated that the adenine ring of AMP-PNP and the indolocarbazole moiety of staurosporine have similar positions and orientations and provided the basis for the docking of the other S/T kinase **inhibitors**. **Inhibitors** with isoquinoline and quinazoline moieties were recognized by aurora2 in which H-89 and 6,7-dimethoxyquinazoline compounds exhibited high binding energies compared with that of staurosporine. The calculated binding energies for the docked small-molecule **inhibitors** were qualitatively consistent with the IC50 values generated using an in vitro kinase assay. The aurora2 structural model provides a rational basis for site-directed mutagenesis of the active site; design of novel H-89, staurosporine, and quinazoline analogues; and the screening of the available chemical database for the identification of other novel, small-molecular entities.

L10 ANSWER 12 OF 45 BIOTECHDS COPYRIGHT 2003 THOMSON DERWENT/ISI on STN
 ACCESSION NUMBER: 2002-16919 BIOTECHDS

TITLE: New oligonucleotide targets and **inhibits** human
aurora 2 kinase mRNA;
 for use in cancer diagnosis and therapy

PATENT ASSIGNEE: TT PHARM INC

PATENT INFO: JP 2002095479 2 Apr 2002

APPLICATION INFO: JP 2000-287928 22 Sep 2000

PRIORITY INFO: JP 2000-287928 22 Sep 2000

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

OTHER SOURCE: WPI: 2002-439988 [47]

AB DERWENT ABSTRACT:

NOVELTY - An oligonucleotide (I) which targets an messenger ribonucleic acid (mRNA) encoding human **aurora 2** kinase and can **inhibit aurora 2** kinase expression, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for: (1) a drug containing (I); (2) **inhibiting** human **aurora 2** kinase comprises contacting a tissue or cell expressing human **aurora 2** kinase with (I); and (3) **inhibiting** excessive growth of cells by contacting with (I).

USE - The oligonucleotide is useful in the diagnosis and treatment of cancers (claimed).

EXAMPLE - 15 oligonucleotides were designed and their phosphorothioates synthesized. Among them, **10 inhibited aurora 2** kinase protein expression by at least 80 %. (12 pages)

L10 ANSWER 13 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:927427 HCAPLUS

DOCUMENT NUMBER: 138:14054

TITLE: Preparation of thiazole compounds as
inhibitors of protein kinases

INVENTOR(S): Cochran, John; Nanthakumar, Suganthini; Harrington, Edmund; Wang, Jian

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096905	A1	20021205	WO 2002-US16352	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003119856	A1	20030626	US 2002-154118	20020523

PRIORITY APPLN. INFO.: US 2001-295158P P 20010601

OTHER SOURCE(S): MARPAT 138:14054

AB Thiazole compds. [I; wherein R1 = H, (substituted) (C1-C6)alkyl, CN, halogen, NO2, (substituted) (C1-C4)alkylidene; Ar1 = (substituted) 3-8 membered monocyclic or 8-10 membered bicyclic satd., partially satd., or aryl ring, 3-7 membered heterocyclic ring, 5-6 membered monocyclic or 8-10 membered bicyclic heteroaryl ring] were prepd. For example, (II) was prepd. in three steps from 2-acetylthiazole. These compds. are **inhibitors** of protein kinases, particularly **inhibitors** of GSK3, Aurora2, and Syk mammalian protein kinases. For example, compd. II showed IC50 .ltoreq. 0.5 .mu.M against Syk mammalian protein kinase.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:615623 HCAPLUS

DOCUMENT NUMBER: 137:169517

TITLE: Oxazolyl-pyrazole derivatives as protein kinase **inhibitors**, their preparation and combinatorial libraries, and their pharmaceutical use in the treatment of cancer and other diseases and disorders

INVENTOR(S): Berta, Daniela; Felder, Eduard; Vulpetti, Anna; Villa, Marzia

PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062804	A1	20020815	WO 2002-EP995	20020128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-2687 A 20010202

OTHER SOURCE(S): MARPAT 137:169517

AB The method of treating protein kinase-linked diseases with oxazolyl-pyrazole derivs. I and their pharmaceutically acceptable salts is disclosed [wherein: R = H, alkyl, alkenyl, aryl, arylalkyl, (un)satd. cycloalkyl or cycloalkyloxy optionally condensed with 1 or 2 benzene rings, or optionally benzo-condensed 5- or 6-membered heterocyclyl or heterocyclylalkyl having 1 or 2 N/O/S atoms [all optionally substituted by one or more of: halo, NO₂, cyano, OH, oxo, alkyl, alkoxyalkyl, perfluoroalkyl, (un)substituted aryl or 5- or 6-membered heterocyclyl having 1 or 2 N/O/S atoms, alkoxy, alkoxyalkyloxy, (un)substituted arylalkyloxy or aryloxy, alkylthio, alkylsulfonyl, arylthio, or arylsulfonyl, cycloalkyl, amino, alkylamino, dialkylamino, arylamino, alkylcarbonyl, alkyloxycarbonyl, alkylaminocarbonyl, aminocarbonyl, (un)substituted arylcarbonyl or heterocyclylcarbonyl, alkylcarbonylamino, alkyloxycarbonylamino, arylalkyloxycarbonylamino, arylcarbonylamino, aryloxycarbonylamino, carboxy, alkylcarbonyloxy, or arylcarbonyloxy]; Y = bond, CO, NHCO, SO₂; WZ = benzo fusion, naphtho fusion, or an optionally benzocondensed 5- or 6-membered heterocycle having 1 or 2 N/O/S atoms, each optionally substituted by one or more of halo, nitro, cyano, alkyl, alkoxy, alkylsulfonyl, or aryl]. Also disclosed is a novel subset of I, including 382 individually named compds. I are useful in the treatment of diseases caused by and/or assocd. with an altered protein kinase activity, such as cancer, cell proliferative disorders, viral infections, autoimmune diseases and neurodegenerative disorders. Eleven examples are given, including solid-phase prepn. of several compds. I and intermediates, and descriptions of 3 combinatorial libraries of 3874, 3172, and 2184 members, based on 4 claimed tables of reactants. For instance, Et 3-(3-nitrophenyl)pyrazole-4-carboxylate was bound to trityl chloride resin, sapond. with NaOH in MeOH, and amidated with o-aminophenol. The resultant N-(2-hydroxyphenyl)amide was cyclized by Mitsunobu reaction to give a 1,3-benzoxazole deriv., followed by redn. of the nitro group to amino using SnCl₂, amidation with PhCH₂CO₂H, and resin cleavage with TFA, to give title compd. II. **Inhibition** assays against various kinases are described (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:615605 HCAPLUS

DOCUMENT NUMBER: 137:169539

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase **inhibitors**, especially of **Aurora-2** and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec, Julian M. C.; Miller, Andrew; Knegt, Ronald

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 335 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062789	A1	20020815	WO 2001-US51031	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 WO 2002066461 A1 20020829 WO 2001-US49139 20011219
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 WO 2002068415 A1 20020906 WO 2001-US50312 20011219
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 US 2003004161 A1 20030102 US 2001-26975 20011219
 US 6653300 B2 20031125
 US 2003036543 A1 20030220 US 2001-25164 20011219
 US 2003055068 A1 20030320 US 2001-26967 20011219
 US 2003078275 A1 20030424 US 2001-27001 20011219
 US 6653301 B2 20031125
 US 2003105090 A1 20030605 US 2001-26966 20011219
 EP 1345922 A1 20030924 EP 2001-271061 20011219
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 EP 1345927 A1 20030924 EP 2001-994510 20011219
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 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 2003022885 A1 20030130 US 2001-34019 20011220
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 NO 2003002736 A 20030818 NO 2003-2736 20030616
 PRIORITY APPLN. INFO.:
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US51031 W 20011219

OTHER SOURCE(S): MARPAT 137:169539

AB 285 Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(R6')2, 1,2-cyclo(prop/but)anediy1, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R =

independently H or (un)substituted aliph., (hetero)aryl, or heterocyclyl;
R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2,
CONR6, C(R6)2O, C(R6)2SOO-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO,
C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or
C(R6)2NR6CONR6; R6, R6', R7 = independently H or aliph.; or N(R6)2 or
N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6')2 =
carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SOO-2R, N(R4)2,
CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2,
C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepd.
However, the claims pertain only to 3-(2-amino-4-pyrimidinylamino)-1H-
pyrazoles, i.e. Z1 = Z2 = N, and Q = NH. I are protein kinase
inhibitors, esp. of **Aurora-2** and GSK-3. For
example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol
in t-BuOH to give III. In bioassays, I **inhibited** the following
kinases with Ki values reported < 20 .mu.M: GSK-3.beta. (232 compds.),
AURORA-2 (227 compds.), CDK-2 (13 compds.), ERK2 (8
compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are
useful for the treatment of diseases assocd. with protein kinases, such as
diabetes, cancer, and Alzheimer's disease (no data).

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:575070 HCAPLUS

DOCUMENT NUMBER: 137:119705

TITLE: Preparation of pyrazole compounds useful as protein
kinase **inhibitors**, and therapeutic use
thereof

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059112	A2	20020801	WO 2001-US49594	20011220
WO 2002059112	A3	20030206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003004161 A1 20030102 US 2001-26975 20011219

US 6653300 B2 20031125

US 2003036543 A1 20030220 US 2001-25164 20011219

US 2003055068 A1 20030320 US 2001-26967 20011219

US 2003078275 A1 20030424 US 2001-27001 20011219

US 6653301 B2 20031125

US 2003105090 A1 20030605 US 2001-26966 20011219

EP 1345922 A1 20030924 EP 2001-271061 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20031029 EP 2001-273861 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003004164 A1 20030102 US 2001-34683 20011220

US 2003022885 A1 20030130 US 2001-34019 20011220

EP 1345929 A2 20030924 EP 2001-994347 20011220

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NO 2003002704 A 20030821 NO 2003-2704 20030613

PRIORITY APPLN. INFO.:

US 2000-257887P P 20001221

US 2001-286949P P 20010427

WO 2001-US49139 W 20011219

WO 2001-US50312 W 20011219

WO 2001-US49594 W 20011220

OTHER SOURCE(S): MARPAT 137:119705

AB The invention describes pyrazole compds. I [Z1 = N, CR; Z2 = N, CH; Z3 =
 N, CRx provided that one of Z1 and Z3 is N; Rx is substituted alkylidene Q
 = imine, O, S, etc.; R1 = T-(ring D); T = valence bond, alkylidene chain;
 ring D = 5-7-membered monocyclic ring, 8-10-membered bicyclic ring; R2,
 R2' = H, (un)substituted C1-6 aliph., (un)substituted C6-10 aryl, etc.; Ry
 = (un)substituted C1-6 aliph., (un)substituted C6-10 aryl, etc.; R = halo,
 NO2, CN, etc.]. The compds. are useful as protein kinase
inhibitors, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and
 Alzheimer's disease.

L10 ANSWER 17 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:575069 HCAPLUS

DOCUMENT NUMBER: 137:109292

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
 protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3, for treatment of
 cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies,
 Robert; Golec, Julian; Kay, David; Knegt, Ronald;
 Patel, Sanjay

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 337 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059111	A2	20020801	WO 2001-US51120	20011219

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
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 WO 2002066461 A1 20020829 WO 2001-US49139 20011219
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 WO 2002068415 A1 20020906 WO 2001-US50312 20011219
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 US 6653300 B2 20031125
 US 2003036543 A1 20030220 US 2001-25164 20011219
 US 2003055068 A1 20030320 US 2001-26967 20011219
 US 2003078275 A1 20030424 US 2001-27001 20011219
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 US 2003105090 A1 20030605 US 2001-26966 20011219
 EP 1345922 A1 20030924 EP 2001-271061 20011219
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 BR 2001016493 A 20030930 BR 2001-16493 20011219
 EP 1355905 A1 20031029 EP 2001-273861 20011219
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 2003022885 A1 20030130 US 2001-34019 20011220
 NO 2003002670 A 20030815 NO 2003-2670 20030612
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US51120 W 20011219
 OTHER SOURCE(S): MARPAT 137:109292
 AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1
 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy =
 (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2,
 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D =
 (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or
 carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4,
 CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with
 provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6,
 NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a =

independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle;
R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2,
carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R =
independently H or (un)substituted aliph., (hetero)aryl, or heterocyclyl;
R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2,
CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO,
C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or
C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliph.; or N(R6)2 or
N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 =
carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2,
CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2,
C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepd. I are
protein kinase **inhibitors**, esp. of **Aurora-2**
and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed
with thiophenol in t-BuOH to give III. In bioassays, I **inhibited**
the following kinases with Ki values reported < 20 .mu.M: GSK-3.beta. (232
compsd.), **AURORA-2** (227 compsds.), CDK-2 (13 compsds.),
ERK2 (8 compsds.), AKT (10 compsds.), and Human Src kinase (183 compsds.). I
are useful for the treatment of diseases assocd. with protein kinases,
such as diabetes, cancer, and Alzheimer's disease (no data).

L10 ANSWER 18 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:555487 HCAPLUS

DOCUMENT NUMBER: 137:125169

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec,
Julian; Miller, Andrew; Knegt, Ronald

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 333 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057259	A2	20020725	WO 2001-US49401	20011219
WO 2002057259	A3	20030424		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1353916	A2	20031022	EP 2001-994323	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
NO 2003002703	A	20030819	NO 2003-2703	20030613
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US49139	W 20011219
			WO 2001-US49401	W 20011219
			WO 2001-US50312	W 20011219

OTHER SOURCE(S): MARPAT 137:125169

AB The title compds. I [Z1 = N, CR8; Z2 = N. CH; and at least one of Z1 and Z2 = N; Rb, Rc = TR3, LZR3; C2RbRc = (un)substituted fused (hetero)cycle; Q = NR4, O, S, etc.; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, carbocyclyl; T = a bond, alkylidene (un)interrupted by O, S, NR4, CO, etc.; Z = alkylidene; L = O, S, SO, SO2, etc.; R2, R2a = R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, etc.; R = H, (un)substituted aliph., (hetero)aryl, heterocyclyl; R4 = R7, COR7, SO2R7, etc.; W = CO, CO2, CONR6, etc.; R6, R7 = H, alkyl; or N(R6)2 or N(R7)2 = heterocyclyl, heteroaryl] were prepd. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in tert-BuOH to give III. In bioassays, I **inhibited** the following kinases with Ki values reported < 20 .mu.M: GSK-3.beta. (232 compds.), **AURORA-2** (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases assocd. with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

L10 ANSWER 19 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:487557 HCAPLUS

DOCUMENT NUMBER: 137:57588

TITLE: Pyrazole compounds useful as protein kinase **inhibitors**, and therapeutic use thereof

INVENTOR(S): Golec, Julian; Pierard, Francoise; Charrier, Jean-Damien; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050066	A2	20020627	WO 2001-US49585	20011220
WO 2002050066	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AU 2002031166	A5	20020701	AU 2002-31166	20011220
US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
EP 1345928	A2	20030924	EP 2001-991439	20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
			WO 2001-US49585	W 20011220
OTHER SOURCE(S): MARPAT 137:57588				
AB The invention describes pyrazole compds. I [Z1 = N, CR8; Q = O, S, etc.; R1 = T-Ring D; T = valence bond, alkylidene chain; Ring D = 5-7-membered monocyclic ring, 8-10-membered bicyclic ring; R2, R2' = H, (un)substituted C1-6 aliph., (un)substituted C6-10 aryl, etc.; Ry = (un)substituted C1-6 aliph., (un)substituted C6-10 aryl, etc.; R8 = halo, NO2, CN, etc.]. The				

compds. are useful as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease.

L10 ANSWER 20 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:487556 HCAPLUS

DOCUMENT NUMBER: 137:47221

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase **inhibitors**, especially of **Aurora-2** and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Everitt, Simon; Kay, David; Knegetel, Ronald; Patel, Sanjay

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 342 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050065	A2	20020627	WO 2001-US49140	20011219
WO 2002050065	A3	20021024		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002034047	A5	20020701	AU 2002-34047	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1345925 A2 20030924 EP 2001-985059 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20031029 EP 2001-273861 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003004164 A1 20030102 US 2001-34683 20011220
US 2003022885 A1 20030130 US 2001-34019 20011220
NO 2003002671 A 20030818 NO 2003-2671 20030612
NO 2003002704 A 20030821 NO 2003-2704 20030613

PRIORITY APPLN. INFO.:
US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US49139 W 20011219
WO 2001-US49140 W 20011219
WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 137:47221

AB Title compds. I [wherein Z1 = N or CR8; Z2 = N or CH; and at least 1 of Z1 and Z2 = N; Rx and Ry = independently TR3 or LZR3; or C2RxRy = (un)substituted fused (hetero)cycle; Q = NR4, O, S, C(6a)2, 1,2-cyclo(prop/but)anediyl, or 1,3-cyclobutanediyl; R1 = TD; D = (un)substituted mono- or bicyclic (hetero)aryl, heterocyclyl, or carbocyclyl; T = a bond or alkylidene chain (un)interrupted by O, S, NR4, CO, CONH, NHCO, SO2, SO2NH, NHSO2, CO2, OCO, OCONH, or NHCO2, with provisos; Z = alkylidene chain; L = O, S, SO, SO2, NR6SO2, SO2NR6, NR6, NR6CO, NR6CO2, NR6CONR6, NR6SO2NR6, NR6NR6, OCONR6, or W; R2 and R2a = independently R, TWR6, or C2R2R2a = (un)substituted fused (hetero)cycle; R3 = R, halo, OR, COR, CO2R, CO(CH2)0-1COR, NO2, CN, SO0-2R, N(R4)2, carbamoyl, sulfamoyl, OCOR, acylamino, hydrazino, ureido, etc.; R = independently H or (un)substituted aliph., (hetero)aryl, or heterocyclyl; R4 = independently R7, COR7, carboxy, CON(R7)2, or SO2R7; W = CO, CO2, CONR6, C(R6)2O, C(R6)2SO0-2, C(R6)2SO2NR6, C(R6)2NR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, or C(R6)2NR6CONR6; R6, R6a, R7 = independently H or aliph.; or N(R6)2 or N(R7)2 = independently heterocyclyl or heteroaryl; or C(R6a)2 = carbocycle; R8 = R, halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2] were prepd. I are protein kinase **inhibitors**, esp. of **Aurora-2** and GSK-3. For example, the (pyrazolylamino)quinazoline II was refluxed with thiophenol in t-BuOH to give III. In bioassays, I **inhibited** the following kinases with Ki values reported < 20 .mu.M: GSK-3.beta. (232 compds.), **AURORA-2** (227 compds.), CDK-2 (13 compds.), ERK2 (8 compds.), AKT (10 compds.), and Human Src kinase (183 compds.). I are useful for the treatment of diseases assocd. with protein kinases, such as diabetes, cancer, and Alzheimer's disease (no data).

L10 ANSWER 21 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220584 HCAPLUS

DOCUMENT NUMBER: 136:247584

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegetel, Ronald; Golec, Julian M. C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 356 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096871	A5	20020326	AU 2001-96871	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001188	A	20030513	NO 2003-1188	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US42152	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247584				
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRY; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase inhibitors, esp. as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR9; Z2 and Z3 = N; Z4 = CRY]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay				

results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 22 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220583 HCAPLUS

DOCUMENT NUMBER: 136:247583

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Bebbington, David; Knegtel, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001091013	A5	20020326	AU 2001-91013	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914
EP 1318997	A1	20030618	EP 2001-971082	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001191	A	20030513	NO 2003-1191	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
US 2000-232795P P 20000915				
US 2000-257887P P 20001221				
US 2001-286949P P 20010427				
WO 2001-US28940 W 20010914				
WO 2001-US49139 W 20011219				

OTHER SOURCE(S): MARPAT 136:247583

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 23 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220582 HCAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2001090944	A5	20020326	AU 2001-90944	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001189	A	20030513	NO 2003-1189	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28803	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219

OTHER SOURCE(S): MARPAT 136:247582

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring D]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220581 HCAPLUS

DOCUMENT NUMBER: 136:247581

TITLE: Preparation of pyrazolamines and analogs as protein

kinase **inhibitors** for treatment of cancer,
diabetes, and Alzheimer's disease
INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegt,
Ronald; Bebbington, David; Davies, Robert; Li, Pan
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092670	A5	20020326	AU 2001-92670	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28793	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247581				
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR,				

NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors of Aurora-2 and GSK-3**, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition of GSK-.beta.3, Aurora-2, ERK, and Src.** For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 25 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220580 HCAPLUS

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase **inhibitors**, especially of **Aurora-2** and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001094558	A5	20020326	AU 2001-94558	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1345922	A1	20030924	EP 2001-271061	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003001190 A 20030513 NO 2003-1190 20030314
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US28792 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:247606

AB The prepn. of title compds. I and their pharmaceutically acceptable salts or produgs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliph., aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazolone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compd. III. Compds. I are **inhibitors** of GSK-3 and **Aurora-2** protein kinases. The invention also relates to methods of treating diseases assocd. with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I **inhibited** the following kinases with Kis reported < 100 nM: GSK-3.beta. (163 compds.), **AURORA-2** (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 26 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220579 HCAPLUS

DOCUMENT NUMBER: 136:247580

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 406 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001090912	A5	20020326	AU 2001-90912	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613

PRIORITY APPLN. INFO.:

US 2000-232795P	P	20000915
US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
WO 2001-US28738	W	20010914
WO 2001-US49139	W	20011219
WO 2001-US50312	W	20011219

OTHER SOURCE(S): MARPAT 136:247580

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 27 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220578 HCAPLUS

DOCUMENT NUMBER: 136:263164

TITLE: Preparation of triazolamines as protein kinase

inhibitors for treatment of cancer, diabetes,
 and Alzheimer's disease
 INVENTOR(S): Bebbington, David; Knegt, Ronald; Binch, Haley;
 Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 377 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
WO 2002022602	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096875	A5	20020326	AU 2001-96875	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US42162	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219

OTHER SOURCE(S): MARPAT 136:263164

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo,

O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 1.0-20 .mu.M for **Aurora-2**.

L10 ANSWER 28 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220577 HCAPLUS

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Knegt, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001090914	A5	20020326	AU 2001-90914	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317444	A1	20030611	EP 2001-970971	20010914
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1345922	A1	20030924	EP 2001-271061	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US28740 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:247579

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRY; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)satd. fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring contg. 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliph., (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliph.), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliph.), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliph. group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepd. as protein kinase **inhibitors**, esp. as **inhibitors** of **Aurora-2** and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRa, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRY; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepd. by a variety of synthetic methods and bioassay results for the **inhibition** of GSK-.beta.3, **Aurora-2**, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepd. and exhibited Ki values of < 0.1 .mu.M for glycogen synthetase kinase 3.beta. (GSK-3.beta.) and 0.1-1.0 .mu.M for **Aurora-2**.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:10468 HCAPLUS

DOCUMENT NUMBER: 136:85826

TITLE: Preparation of substituted quinazoline derivatives and their use as **inhibitors** of **AURORA-2** kinase

INVENTOR(S): Mortlock, Andrew; Jung, Frederic

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 249 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 EP 1299381 A1 20030409 EP 2001-944061 20010621
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 BR 2001011754 A 20030429 BR 2001-11754 20010621
 NO 2002006010 A 20021213 NO 2002-6010 20021213
 US 2003187002 A1 20031002 US 2002-311916 20021216
 PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628
 WO 2001-SE1450 W 20010621

OTHER SOURCE(S): MARPAT 136:85826

AB The title compds. [I; X = O, S, S:O, SO₂, NR; R = H, C1-6alkyl; R1 = OCH₃,
 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy,
 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy,
 (CH₃)₂N(CH₂)₃O, etc.; Q = (un)substituted 5-membered heteroarom.],
 pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides
 are prepd. as **AURORA-2** kinase **inhibitors** in
 warm blooded animals. The title compds. together with pharmaceutical
 compns. contg. them are also described and claimed. Thus, the title
 compd. II was prepd. and tested in vitro for the ability to arrest MCF7
 cells in specific phases of the cell cycle.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:488124 HCAPLUS

DOCUMENT NUMBER: 137:59517

TITLE: Human **AURORA-1** and **AURORA**
-2 kinases, cDNA and amino acid sequences,
 and recombinant production

INVENTOR(S): Plowman, Gregory; Mossie, Kevin

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
 Ser. No. 5,268, abandoned.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002081578	A1	20020627	US 1998-12135	19980122
CN 1205740	A	19990120	CN 1996-199101	19961125
US 5962312	A	19991005	US 1996-755728	19961125
CA 2318352	AA	19990729	CA 1999-2318352	19990121
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI

JP 2002508937 T2 20020326 JP 2000-528695 19990121
US 6207401 B1 20010327 US 1999-283011 19990331

PRIORITY APPLN. INFO.:

US 1995-8809P P 19951218
US 1996-23943P P 19960814
US 1996-755728 A2 19961125
US 1998-5268 B2 19980109
US 1998-12135 A 19980122
WO 1999-US1283 W 19990121

AB The invention provides protein and cDNA sequences for human **AURORA**
-1 (AUR1) and/or **AURORA-2** (AUR2), which are
members of serine/threonine kinase family contg. short N-terminal
extensions. AUR1 mRNA has been shown to be broadly expressed in rapidly
dividing cells, derived from both normal and tumor tissues. AUR2 mRNA,
however, has been shown to be expressed in a more restricted pattern being
low or absent in most normal tissues and abundant in only a subset of
tumor-derived cell lines. The invention also demonstrated that AUR1 and
AUR2 kinases were able to phosphorylate myelin basic protein. The
invention further discussed the possible involvement of AUR1 and AUR2
kinases in cancer and/or other signal transduction disorders, and the
possible biol., diagnostic and/or therapeutic uses of these kinases. The
AUR1 and AUR2 genes are mapped to chromosome 17p13.1 and 20q13.2 resp.
Methods for treatment, diagnosis, and screening are provided for AUR1
and/or AUR2 related diseases or conditions characterized by an abnormal
interaction between a AUR1 and/or AUR2 polypeptide and a AUR1 and/or AUR2
binding partner.

L10 ANSWER 31 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:636823 HCAPLUS

DOCUMENT NUMBER: 137:165497

TITLE: Method and kit for assaying protein phosphorylation

enzyme activity, and antibody used for assay

INVENTOR(S): Tajiri, Shingo; Tamai, Katsuyuki; Kobayashi, Toshiko

PATENT ASSIGNEE(S): Medical and Biological Laboratories Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002236125	A2	20020823	JP 2001-29774	20010206

PRIORITY APPLN. INFO.: JP 2001-29774 20010206

AB A method and a kit are provided for assaying a human Aurora2 protein
phosphorylation enzyme activity using an antibody capable of specifically
recognizing and binding with the substrate phosphorylated with human
Aurora2 protein phosphorylation enzyme. An antibody used for assaying a
human Aurora2 protein phosphorylation enzyme activity is also provided. A
method is also provided for screening a compd. which **inhibits** or
promotes the human Aurora2 protein phosphorylation enzyme activity. The
phosphorylation activity of human Aurora2 protein phosphorylation enzyme
is assayed by immunol. measuring the phosphorylation of its substrate
using an antibody capable of specifically recognizing and binding with the
substrate phosphorylated with human **Aurora 2** protein
phosphorylation enzyme (e.g., human Lats2 protein).

L10 ANSWER 32 OF 45 MEDLINE on STN

DUPLICATE 2

ACCESSION NUMBER: 2002654569 MEDLINE

DOCUMENT NUMBER: 22302037 PubMed ID: 12237287

TITLE: Crystal structure of **aurora-2**, an
oncogenic serine/threonine kinase.

AUTHOR: Cheetham Graham M T; Knegt Ronald M A; Coll Joyce T; Renwick Suzanne B; Swenson Lora; Weber Peter; Lippke Judith A; Austen Douglas A

CORPORATE SOURCE: Vertex Pharmaceuticals (Europe) Ltd., 88 Milton Park, Abingdon, Oxfordshire OX14 4RY, United Kingdom.. cheetham@vpharm.com

SOURCE: JOURNAL OF BIOLOGICAL CHEMISTRY, (2002 Nov 8) 277 (45) 42419-22.
Journal code: 2985121R. ISSN: 0021-9258.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

OTHER SOURCE: PDB-1MUO

ENTRY MONTH: 200302

ENTRY DATE: Entered STN: 20021105
Last Updated on STN: 20030207
Entered Medline: 20030206

AB **Aurora-2** is a key member of a closely related subgroup of serine/threonine kinases that plays important roles in the completion of essential mitotic events. **Aurora-2** is oncogenic and amplified in various human cancers and could be an important therapeutic target for **inhibitory** molecules that would disrupt the cell cycle and block proliferation. We report the first crystal structure of **Aurora-2** kinase in complex with adenosine. Analysis of residues in the active site suggests differences with structurally and biologically related protein kinases. The **activation** loop, which contains residues specific to the Aurora family of kinases, has a unique conformation. These results provide valuable insight into the design of selective and highly potent ATP-competitive **inhibitors** of the Aurora kinases.

L10 ANSWER 33 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:581873 HCAPLUS

DOCUMENT NUMBER: 135:152802

TITLE: Preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid derivatives as **inhibitors** of ERK

INVENTOR(S): Green, Jeremy; Cao, Jingrong; Hale, Michael; Baker, Christopher; Maltais, Francois; Janetka, James; Mullican, Michael; Bemis, Guy; Xie, Xiaoling; Straub, Judith; Tang, Qing; Mashall, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057022	A2	20010809	WO 2001-US3911	20010205
WO 2001057022	A3	20020307		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2001004424	A	20020108	BR 2001-4424	20010205

EP 1200422 A2 20020502 EP 2001-908911 20010205
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2003522163 T2 20030722 JP 2001-557854 20010205
 NO 2001004837 A 20011204 NO 2001-4837 20011004
 US 2003040536 A1 20030227 US 2001-972437 20011005
 US 6528509 B2 20030304
 LT 4981 B 20030127 LT 2001-103 20011017
 BG 106054 A 20020628 BG 2001-106054 20011026
 US 6593357 B1 20030715 US 2002-225719 20020822
 PRIORITY APPLN. INFO.: US 2000-180506P P 20000205
 US 2000-191956P P 20000324
 US 2000-242935P P 20001024
 WO 2001-US3911 W 20010205
 US 2001-972437 A3 20011005

OTHER SOURCE(S): MARPAT 135:152802

AB The title compds. [I; R1 = R, halo, OR, etc.; T = a bond, linker group; R = H, alkyl; R2 = H, CN, halo, etc.; R3 = R, OH, OR, etc.; Q = a bond, CO, CO2, etc.; R4 = NH2, NHR5, R5, etc.; R5 = alkyl, aryl, aralkyl, etc.], useful as protein kinase **inhibitors** (such as ERK2, JAK, JNK, **Aurora-2**, GSK-3, KDR or ATK), were prepd. E.g., a 4-step synthesis of I [R1 = H; T = a bond; R2 = Ph; R3 = H; Q = CO; R4 = NHCH2Ph] which showed Ki of < 1 .mu.M in ERK2 **inhibition** assay, was given. The compds. I are useful for treating disease states in mammals that are alleviated by a protein kinase **inhibitor**, particularly diseases such as cancer, inflammatory disorders, restenosis, and cardiovascular disease.

L10 ANSWER 34 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:565012 HCAPLUS

DOCUMENT NUMBER: 135:137521

TITLE: Preparation of 4-[N-(5-pyrimidyl)amino]quinolines as **inhibitors of aurora 2** kinase

INVENTOR(S): Mortlock, Andrew Austen; Jung, Frederic Henri

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055116	A2	20010802	WO 2001-GB245	20010124
WO 2001055116	A3	20011227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1294709	A2	20030326	EP 2001-946855	20010124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003520855	T2	20030708	JP 2001-555058	20010124
US 2003105129	A1	20030605	US 2002-182454	20020726
PRIORITY APPLN. INFO.:			EP 2000-400228	A 20000128
			WO 2001-GB245	W 20010124

OTHER SOURCE(S): MARPAT 135:137521

AB The title compds. [I; R5 = (un)substituted 6-membered arom. ring contg. at least one N atom; R1-R4 = halo, CN, NO2, etc.; provided that at least one of R2 or R3 is other than hydrogen] which are **inhibitors** of **aurora 2** kinase useful in treatment of proliferative disease such as cancer and in particular cancers such as colorectal or breast cancer where **aurora 2** is upregulated, were prepd. Thus, reacting 4-chloro-6-cyano-7-(3-morpholinopropoxy)quinoline with 2-(N-benzoyl)-2,5-diaminopyrimidine (prepn. of both reactants given) afforded 59% I [R1, R4 = H; R2 = CN; R3 = 3-morpholinopropoxy; R5 = 2-(N-benzoylamino)pyrimidin-5-yl] which showed 50% **inhibition** of **aurora 2** kinase activity at 0.0521 .mu.M in vitro.

L10 ANSWER 35 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228867 HCAPLUS

DOCUMENT NUMBER: 134:266318

TITLE: Preparation of quinazolines as **aurora 2** kinase **inhibitors**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921
			WO 2000-GB3593	W 20000919

OTHER SOURCE(S): MARPAT 134:266318

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR6; R6 = H or alkyl; R5 = (un)substituted 6-membered arom. ring contg. at least one N; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R7, or R9X1; R7 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R9 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; and at least one of R2 or R3 is other than H; or a salt, ester, amide, or prodrug thereof] were prepd. as **aurora 2** kinase **inhibitors** for the treatment of proliferative diseases, such as cancer. For example, 2-(N-benzoylamino)-5-aminopyrimidine and 4-chloro-6,7-dimethoxyquinazoline were coupled in i-PrOH to yield II (58%). The latter **inhibited** the serine/threonine kinase activity of **aurora 2** kinase by 50% at a concn. of 0.00785 .mu.M. In addn., II gave 50% **inhibition** of MCF-7 cell proliferation at 1.7 .mu.M and reduced

BrdU incorporation into cellular DNA by 50% at 1.92-2.848 .mu.M.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 36 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:228866 HCAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase
inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung,
Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918

OTHER SOURCE(S): MARPAT 134:266317

AB Title compds. (I) [wherein X = O, S, SO, SO₂, NH, or NR₁₂; R₁₂ = H or
alkyl; R₁-R₄ = independently halo, CN, NO₂, alkylsulfanyl, N(OH)R₁₃, or
R₁₅X₁; R₁₃ = H or alkyl; X₁ = a direct bond, O, CH₂, OC(O), CO, CO₂, S,
SO, SO₂, or (un)substituted NHCO, CONH, SO₂NH, NHSO₂, or NH; R₁₅ = H or
(un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R₅ = NHCO₂R₉,
NHCOR₉, NHSO₂R₉, COR₉, CO₂R₉, SOR₉, SO₂OR₉, CONR₁₀R₁₁, SONR₁₀R₁₁, or
SO₂NR₁₀R₁₁; R₉-R₁₁ = independently H or (un)substituted hydrocarbyl or
heterocyclyl; or R₁₀ and R₁₁ together with the N to which they are
attached = (un)substituted heterocyclyl; R₆ = H or (un)substituted
hydrocarbyl or heterocyclyl; R₇ and R₈ = independently H, halo, alkyl,
(di)alkoxy(methyl), alkanoyl, CF₃, CN, NHY₂, alkenyl, alkynyl, or
(un)substituted Ph, PhCH₂, or heterocyclyl; or a salt, ester, or amide
thereof] were prepd. as aurora 2 kinase inhibitors for the treatment of
proliferative diseases, such as cancer. For example, a 7-step sequence
involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%),
(2) addn. of Et vanillate to yield Et 3-methoxy-4-(3-
morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) redn. to the
amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the
quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-
morpholinopropoxy)quinazoline (60%), and (7) amination with
N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the

serine/threonine kinase activity of aurora 2 kinase by 50% at a concn. of 0.0193 .mu.M. In addn., II gave 50% inhibition of MCF-7 cell proliferation at 1.06 .mu.M and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 .mu.M.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 37 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228865 HCAPLUS

DOCUMENT NUMBER: 134:266316

TITLE: Preparation of quinazoline derivatives, method of preparation and use in **inhibiting aurora 2** kinase

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014136	A	20020521	BR 2000-14136	20000918
EP 1218357	A1	20020703	EP 2000-962682	20000918
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509498	T2	20030311	JP 2001-524974	20000918
EE 200200148	A	20030415	EE 2002-148	20000918
NO 2002001395	A	20020515	NO 2002-1395	20020320
BG 106535	A	20021229	BG 2002-106535	20020320
PRIORITY APPLN. INFO.:			GB 1999-22173	A 19990921
			WO 2000-GB3562	W 20000918

OTHER SOURCE(S): MARPAT 134:266316

AB I or a salt, ester, amide or prodrug thereof, a method for the prepn. of I and the use of the claimed compds. for **inhibiting aurora 2** kinase are claimed. These compds. are useful in the treatment of cancer. In I: X is O, or S, S(O) or S(O)2 or NR10 where R10 is H or C1-6 alkyl. R5 is OR11, NR12R13 or SR11 where R11, R12 and R13 are independently optionally substituted hydrocarbonyl or optionally substituted heterocyclic groups, and R12 and R13 may addnl. form together with the N atom to which they are attached, an optionally substituted arom. or nonarom. heterocyclic ring which may contain further heteroatoms. R6 and R7 are independently H or hydrocarbonyl. R8 and R9 are independently H, halo, C1-4 alkyl, C1-4 alkoxy, C1-4 alkoxyethyl, di(C1-4 alkoxy)methyl, C1-4 alkanoyl, trifluoromethyl, cyano, amino, C2-5 alkenyl, C2-5 alkynyl, a Ph group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be arom. or nonarom. and may be satd. (linked via a ring C or N atom) or unsatd. (linked via a ring C atom), and which Ph, benzyl or heterocyclic group may bear on one or more ring C atoms up to 5 substituents selected from hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C2-4 alkanoyl, C1-4

alkanoylamino, C1-4 alkoxy carbonyl, C1-4 alkylthio, C1-4 alkylsulfinyl, C1-4 alkylsulfonyl, carbamoyl, N-C1-4alkylcarbamoyl, N,N-di(C1-4alkyl)carbamoyl, aminosulfonyl, N-C1-4alkylaminosulfonyl, N,N-di(C1-4alkyl)aminosulfonyl, C1-4 alkylsulfonylamino, and a satd. heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which satd. heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halo, C1-3 alkyl, C1-3 alkoxy, C1-3 alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C1-4alkoxy carbonyl. R1, R2, R3, R4 are independently halo, cyano, nitro, C1-3 alkylthio, -N(OH)R14 (R14 is H, or C1-3 alkyl), or R16X1- (X1 represents a direct bond, -O-, -CH2-, -OC(O)-, -C(O)-, -S-, -SO-, -SO2-, -NR17C(O)-, -C(O)NR18-, -SO2NR19-, -NR20SO2- or -NR21- (R17, R18, R19, R20 and R21 each independently represents H, C1-3 alkyl or C1-3alkoxyC2-3alkyl), and R16 is H, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy). A method for prepg. I comprises reacting II where X, R8 and R9 are as defined above, R1', R2', R3', R4' are groups R1, R2, R3, R4 as defined above resp., or precursors thereof; and R85 is a leaving group, with HCR6:CR7C(O)R5', where R6 and R7 are as defined above, R5' is a group R5 as defined above or a precursor group therefore; and thereafter if desired or necessary, converting any precursor groups R1', R2', R3', R4' or R5' to groups R1, R2, R3, R4 or R5 resp., or changing a group R5 to a different such group. The compds. of the invention **inhibit** the serine/threonine kinase activity of the **aurora 2** kinase and thus **inhibit** the cell cycle and cell proliferation. Procedures for assessing these properties are described and test results are given for (E)-4-[4-(2-(3-methylcyclohexylaminocarbonyl)ethenyl)anilino]-6,7-dimethoxyquinazoline.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228864 HCAPLUS

DOCUMENT NUMBER: 134:252355

TITLE: Preparation of quinazolines as **aurora 2** kinase **inhibitors**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014133	A	20020611	BR 2000-14133	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918

BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320
PRIORITY APPLN. INFO.:			GB 1999-22152	A 19990921
			GB 1999-22156	A 19990921
			GB 1999-22159	A 19990921
			WO 2000-GB3556	W 20000918

OTHER SOURCE(S): MARPAT 134:252355

AB Title compds. (I) [wherein X = O, S, SO, SO₂, NH, or NR₈; R₈ = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R₁-R₄ = independently halo, CN, NO₂, alkylsulfanyl, N(OH)R₁₂, or R₁₄X₁; R₁₂ = H or alkyl; X₁ = a direct bond, O, CH₂, OC(O), CO, S, SO, SO₂, or (un)substituted NHCO, CONH, SO₂NH, NHSO₂, or NH; R₁₄ = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepd. as **aurora 2 kinase inhibitors** for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline.bul.HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter **inhibited** the serine/threonine kinase activity of **aurora 2** kinase by 50% at a concn. of 0.069 .mu.M. In addn., II gave 50% **inhibition** of MCF-7 cell proliferation at 2.89 .mu.M and reduced BrdU incorporation into cellular DNA by 50% at 3.68 .mu.M.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 39 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:763599 HCAPLUS

DOCUMENT NUMBER: 134:27814

TITLE: The mitotic serine/threonine kinase Aurora2/AIK is regulated by phosphorylation and degradation

AUTHOR(S): Walter, Annette O.; Seghezzi, Wolfgang; Korver, Wouter; Sheung, Julie; Lees, Emma

CORPORATE SOURCE: DNAX Research Institute, Palo Alto, CA, 94304, USA

SOURCE: Oncogene (2000), 19(42), 4906-4915

CODEN: ONCNES; ISSN: 0950-9232

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Aurora2 is a cell cycle regulated serine/threonine protein kinase which is overexpressed in many tumor cell lines. The authors demonstrate that Aurora2 is regulated by phosphorylation in a cell cycle dependent manner. This phosphorylation occurs on a conserved residue, Threonine 288, within the **activation** loop of the catalytic domain of the kinase and results in a significant increase in the enzymic activity. Threonine 288 resides within a consensus motif for the cAMP dependent kinase and can be phosphorylated by PKA in vitro. The protein phosphatase 1 is shown to dephosphorylate this site in vitro, and in vivo the phosphorylation of T288 is induced by okadaic acid treatment. Furthermore, the authors show that the Aurora2 kinase is regulated by proteasome dependent degrdn. and that Aurora2 phosphorylated on T288 may be targeted for degrdn. during mitosis. The authors' expts. suggest that phosphorylation of T288 is important for regulation of the Aurora2 kinase both for its activity and its stability.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 40 OF 45 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
DUPLICATE 3

ACCESSION NUMBER: 2000:312635 BIOSIS

DOCUMENT NUMBER: PREV200000312635

TITLE: Degradation of human Aurora2 protein kinase by the anaphase-promoting complex-ubiquitin-proteasome pathway.

AUTHOR(S): Honda, Kei; Mihara, Hirotsugu; Kato, Yuzo; Yamaguchi, Akio; Tanaka, Hirofumi; Yasuda, Hideyo; Furukawa, Koichi; Urano, Takeshi [Reprint author]

CORPORATE SOURCE: Department of Biochemistry II, Nagoya University School of
Medicine, 65 Tsurumai-machi, Showa-ku, Nagoya, 466-0065,
Japan
SOURCE: Oncogene, (1 June, 2000) Vol. 19, No. 24, pp. 2812-2819.
print.
CODEN: ONCNES. ISSN: 0950-9232.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 19 Jul 2000
Last Updated on STN: 7 Jan 2002

AB Human Aurora2 was originally identified by its close homology to yeast
IPL1 and fly aurora, which are key regulators of chromosome segregation
and a family of serine/threonine kinases. Here we demonstrate that the
Aurora2 protein is degraded rapidly after G2/M phase release in mammalian
cells. Aurora2 protein has a rapid turnover rate with a half-life of
approximately 2 h. In eukaryotic cells, the ubiquitin-proteasome pathway
is the major mechanism for the targeted degradation of unstable proteins.
The treatment of mammalian cells with proteasome **inhibitors**
blocks Aurora2 degradation. Furthermore, Aurora2 is polyubiquitinated in
vivo and in vitro using anaphase-promoting complex (APC). These results
demonstrate that Aurora2 protein is turned over through the
APC-ubiquitin-proteasome pathway.

L10 ANSWER 41 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:487404 HCAPLUS
DOCUMENT NUMBER: 131:126397
TITLE: AURORA proteins with sequence similarity to protein
tyrosine kinases and cDNAs encoding them and their
diagnostic and therapeutic uses
INVENTOR(S): Plowman, Gregory D.; Mossie, Kevin
PATENT ASSIGNEE(S): Sugan, Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002081578	A1	20020627	US 1998-12135	19980122
CA 2318352	AA	19990729	CA 1999-2318352	19990121
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002508937	T2	20020326	JP 2000-528695	19990121
PRIORITY APPLN. INFO.:			US 1998-12135	A 19980122
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			WO 1999-US1283	W 19990121

AB Two novel proteins, **AURORA-1 (AUR-1**

) and **AURORA-2 (AUR-2)** that are members of the protein tyrosine kinase sequence family are identified and cDNAs encoding them are cloned. The proteins may play a role in disease and methods of using them in the diagnosis of disease and in screening for effectors that may be of therapeutic use are described. The cDNAs were cloned by PCR from an array of human tissue mRNAs with primers derived from strongly conserved sequences of protein tyrosine kinases. Sequence comparison showed them to be most similar to the Drosophila AURORA gene product. The cDNAs were expressed in COS cells with proteins with mol. wts. consistent with those predicted from the amino acid sequence obtained. The genes were widely expressed in a no. of normal tissues and in colorectal cancers. **AUR-2** was mapped to an amplicon of chromosome 20 assocd. with tumors and overexpression of wild-type and mutant **AUR-2** genes in rat fibroblasts led to an **activating** mutant causing neoplastic transformation.

L10 ANSWER 42 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:507888 HCAPLUS
DOCUMENT NUMBER: 131:240708
TITLE: Cdc20 associates with the kinase aurora2/Aik
AUTHOR(S): Farruggio, Dawn C.; Townsley, Fiona M.; Ruderman, Joan V.
CORPORATE SOURCE: Department of Cell Biology, Harvard Medical School, Boston, MA, 02115, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1999), 96(13), 7306-7311
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cdc20/fizzy family proteins are involved in **activation** of the anaphase-promoting complex/cyclosome, which catalyzes the ubiquitin-dependent proteolysis of cell cycle regulatory proteins such as anaphase **inhibitors** and mitotic cyclins, leading to chromosome segregation and exit from mitosis. Previous work has shown that human Cdc20 (hCdc20/p55CDC) assoc. with .gtoreq.1 kinases. We report here that Cdc20-assocd. myelin basic protein kinase activity peaks sharply in early M phase (embryonic cells) or in G2 phase (somatic cells). In HeLa cells, Cdc20 is assocd. with the kinase aurora2/Aik. Aurora2/Aik is a member of the aurora/Ipl1 family of kinases that, like Cdc20, previously has been shown to be localized at mitotic spindle poles and is involved in regulating chromosome segregation and maintaining genomic stability. The demonstration that Cdc20 is assocd. with aurora2/Aik suggests that some function of Cdc20 is carried out or regulated through its assocn. with aurora2/Aik.

REFERENCE COUNT: 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 43 OF 45 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:728105 HCAPLUS
DOCUMENT NUMBER: 130:93706
TITLE: Multinuclearity and increased ploidy caused by overexpression of the aurora- and Ipl1-like midbody-associated protein mitotic kinase in human cancer cells
AUTHOR(S): Tatsuka, Masaaki; Katayama, Hiroshi; Ota, Takahide; Tanaka, Takuji; Odashima, Shizuo; Suzuki, Fumio; Terada, Yasuhiko
CORPORATE SOURCE: Department of Regulatory Radiobiology, Research Institute for Radiation Biology and Medicine, Hiroshima University, Hiroshima, 734-8553, Japan
SOURCE: Cancer Research (1998), 58(21), 4811-4816
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: AACR Subscription Office

DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aurora- and Ipl1-like midbody-assocd. protein (AIM-1) is a serine/threonine kinase that is structurally related to *Drosophila* aurora and *Saccharomyces cerevisiae* Ipl1, both of which are required for chromosome segregation. A kinase-neg. form of AIM-1 **inhibits** the formation of cleavage furrow without affecting nuclear division, indicating that the gene controls entry into cytokinesis during M phase in mammalian cells. A human gene that encodes the protein AIM-1 was overexpressed in colorectal and other tumor cell lines. The regulation of AIM-1 expression was cell cycle dependent in normal and tumor cells, and the max. accumulation was obsd. at G2-M. Exogenous overexpression of wild-type AIM-1 produced multinuclearity in human cells, suggesting that the excess amt. of AIM-1 had a dominant-neg. effect on the overexpressing cells. In long-term culture of AIM-1-overexpressing cells, multiple nuclei in a cell were occasionally fused, and then an increased ploidy and aneuploidy were induced. Thus, the overexpression of AIM-1 in colorectal tumor cell lines is thought to have a causal relation with multinuclearity and increased ploidy. Cytokinesis error caused by AIM-1 overexpression is a major factor in the predisposition of tumor cells to the perturbation of chromosomal integrity that is commonly obsd. in human neoplasia. Thus, defects of pathways essential for mitotic regulation are important during human cancer development.

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 44 OF 45 NTIS COPYRIGHT 2003 NTIS on STN
ACCESSION NUMBER: 1989(15):02349
NTIS ORDER NUMBER: AD-A202 241/6/XAB
TITLE: Study of the Continuous/Diffuse Aurora Using Particle Observations from the Dynamics Explorer Satellites. Final technical rept. 1 Jan 85-17 Oct 88
AUTHOR: Sharber, J. R.; Winningham, J. D.
CORPORATE SOURCE: Southwest Research Inst., San Antonio, TX. Sponsor: Air Force Office of Scientific Research, Bolling AFB, DC. (014411000 328200)
NUMBER OF REPORT: AD-A202 241/6/XAB; AFOSR; TR-88-1202
NUMBER OF CONTRACT: 72p; 17 Oct 1988
F49620-85-C-0029
2310
A2
CONTROLLED TERM: Report
COUNTRY: United States
LANGUAGE: English
NOTES: Original contains color plates: All DTIC and NTIS reproductions will be in black and white.
AVAILABILITY: Order this product from NTIS by: phone at 1-800-553-NTIS (U.S. customers); (703)605-6000 (other countries); fax at (703)605-6900; and email at orders@ntis.gov. NTIS is located at 5285 Port Royal Road, Springfield, VA, 22161, USA.
NTIS Prices: PC A04/MF A01
OTHER SOURCE: GRA&I8909

AB The continuous/diffuse (C/D) aurora and related auroral studies are used as the primary data observations from instruments on the Dynamics Explorer satellites. These satellites carried particle detection instrumentation referred to as the High Altitude Plasma Instrument (HAPI) on the DE-1 and the Low Altitude Plasma Instrument (LAPI) on DE-2, and together provided high resolution spectral and angular measurements of electron and positive ions at altitudes between 500 km and 4 R sub E above the auroral region. The objectives of the research are: (1) to provide a thorough description of the particle populations which produce the quiet and **activated** continuous/diffuse **aurora**, (2) to attempt to determine what mechanisms

act within the plasma sheet and on supra-auroral field lines to precipitate the continuous/diffuse auroral particles, (3) to attempt to find a simple and effective way to model the effects of this aurora and (4), added during the first year of the contract, applying the Dynamics Explorer database to selective investigations of the high-latitude auroral regions. Research has included a description of quiet and disturbed diffuse auroral particles, a study of particles and waves in the diffuse aurora, an attempt to determine the mechanisms of the precipitation, and studies of polar arcs, ionization, and convection in the high-latitude regions. (jhd)

L10 ANSWER 45 OF 45 MEDLINE on STN DUPLICATE 4
 ACCESSION NUMBER: 81094004 MEDLINE
 DOCUMENT NUMBER: 81094004 PubMed ID: 6450205
 TITLE: Binding of citreoviridin to the beta subunit of the yeast F1-ATPase.
 AUTHOR: Gause E M; Buck M A; Douglas M G
 CONTRACT NUMBER: GM25648-02 (NIGMS)
 RR05654 (NCRR)
 SOURCE: JOURNAL OF BIOLOGICAL CHEMISTRY, (1981 Jan 25) 256 (2) 557-9.
 Journal code: 2985121R. ISSN: 0021-9258.
 PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: Priority Journals
 ENTRY MONTH: 198103
 ENTRY DATE: Entered STN: 19900316
 Last Updated on STN: 19970203
 Entered Medline: 19810324

AB Citreoviridin, a nonfluorescent **inhibitor** of bovine and bacterial ATPases, also **inhibits** the yeast F1 (K1 = 2 microM). The beta subunit-specific fluorescent ligand, aurovertin, has been used to report the interaction of citreoviridin with the yeast F1-ATPase and the isolated beta subunit. Citreoviridin caused a marked decrease in the fluorescence increment associated with the binding of aurovertin to either intact F1 or the isolated beta subunit. Three lines of evidence indicate that citreoviridin and aurovertin bind to nonidentical sites on the beta subunit: 1) the binding of citreoviridin to the F1 or isolated beta subunit is noncompetitive with respect to aurovertin; 2) the number of aurovertin binding sites (Kd = 0.2 to 0.6 microM) per F1-ATPase molecule remains the same (1.89 +/- 0.6 mol of aurovertin bound per mol of F1) in the presence or absence of citreoviridin; 3) the F1-ATPase obtained from the aurovertin-resistant mutant **aur-1** is partly **inhibited** by citreoviridin.

=> d his

(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS, LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

L1 23587 S AUR##
 L2 2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
 L3 454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
 L4 135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
 L5 576263 S L3 OR L4
 L6 26 S L2 AND L5
 L7 12 DUP REM L6 (14 DUPLICATES REMOVED)
 L8 144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
 L9 54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
 L10 45 DUP REM L9 (9 DUPLICATES REMOVED)

=> s l10 and (treat? or disease?)
4 FILES SEARCHED...
L11 37 L10 AND (TREAT? OR DISEASE?)

=> dup rem l11
PROCESSING COMPLETED FOR L11
L12 37 DUP REM L11 (0 DUPLICATES REMOVED)

=> d 1-37 ibib

L12 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:875281 HCAPLUS
TITLE: Preparation of pyrrole derivatives as
inhibitors of ERK2
INVENTOR(S): Hale, Michael R.; Maltais, Francois; Tang, Qing;
Straub, Judith; Aronov, Alexander
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091246	A1	20031106	WO 2003-US13186	20030425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-376259P P 20020426
US 2002-403853P P 20020814
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:757703 HCAPLUS
DOCUMENT NUMBER: 139:255408
TITLE: Azolylaminoazines as **inhibitors** of protein
kinases, and their therapeutic use
INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier,
Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay,
David; Knegt, Ronald; Miller, Andrew; Pierard,
Francoise
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078427	A1	20030925	WO 2003-US8125	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364864P P 20020315
 OTHER SOURCE(S): MARPAT 139:255408
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:757702 HCAPLUS
 DOCUMENT NUMBER: 139:255407
 TITLE: Azolylaminoazine compounds as **inhibitors** of
 protein kinases, and their therapeutic use
 INVENTOR(S): Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon;
 Golec, Julian M. C.; Kay, David; Knegetel, Ronald;
 Miller, Andrew; Pierard, Françoise; Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078426	A1	20030925	WO 2003-US7904	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,			
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,			
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,			
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,			
	PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,			
	UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,			
	CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,			
	NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,			
	GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-364840P P 20020315
 OTHER SOURCE(S): MARPAT 139:255407
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:757700 HCAPLUS
 DOCUMENT NUMBER: 139:276913
 TITLE: Preparation of thiazolylaminopyrimidines and related
 compounds as **inhibitors** of protein kinases
 INVENTOR(S): Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA; Binch, Hayley;
 Charrier, Jean-Damien; Everitt, Simon; Golec, Julian
 M. C.; Kay, David; Knegetel, Ronald; Miller, Andrew;
 Pierard, Françoise; et al.
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078423	A1	20030925	WO 2003-US7958	20030314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364842P P 20020315
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757527 HCAPLUS

DOCUMENT NUMBER: 139:255405

TITLE: Azinylaminoazoles as **inhibitors** of protein kinases, and their therapeutic use

INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay, David; Knegt, Ronald; Miller, Andrew; Pierard, Françoise

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077921	A1	20030925	WO 2003-US7957	20030314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-365003P P 20020315
OTHER SOURCE(S): MARPAT 139:255405
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:356438 HCAPLUS

DOCUMENT NUMBER: 138:353830

TITLE: Heteroaromatic carboxamide derivatives, particularly 3-aminothiophene-2-carboxamides, useful as protein kinase **inhibitors**, for the **treatment** of cancer, inflammation, and inflammation-related disorders

INVENTOR(S): Graneto, Matthew; Hanau, Cathleen E.; Perry, Thao D.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037886	A2	20030508	WO 2002-US34801	20021030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-340816P P 20011030
OTHER SOURCE(S): MARPAT 138:353830

L12 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:117622 HCAPLUS

DOCUMENT NUMBER: 138:170229

TITLE: Preparation of pyrazolone derivatives as
inhibitors of GSK-3, **Aurora-2** and CDK-2

INVENTOR(S): Green, Jeremy; Arnost, Michael J.; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011287	A1	20030213	WO 2002-US24726	20020802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-309838P P 20010803

OTHER SOURCE(S): MARPAT 138:170229

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:5957 HCAPLUS

DOCUMENT NUMBER: 138:55984

TITLE: Preparation of azaindoles as protein kinase
inhibitors

INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine
Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets,

Stephanie Daniele; Edlin, Chris; Gardner, Charles J.;
Kominos, Dorothea; Pedgrift, Brian Leslie; Halley,
Frank; Gillespy, Timothy Alan; Edwards, Michael;
Clerc, Francois Frederic; Nemecek, Conception;
Houille, Olivier; Damour, Dominique; Bouchard, Herve;
Bezard, Daniel; Carrez, Chantal

PATENT ASSIGNEE(S):
SOURCE: Aventis Pharma Limited, UK
PCT Int. Appl., 373 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2001-15109	A 20010621
			US 2001-300257P	P 20010622

OTHER SOURCE(S): MARPAT 138:55984
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:719201 HCAPLUS
DOCUMENT NUMBER: 139:246026
TITLE: Preparation of indazoles as kinase **inhibitors**
, and their compositions and use for **treatment**
of cancer
INVENTOR(S): Damour, Dominique; Terrier, Corinne; Nemecek, Patrick
PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.
SOURCE: Fr. Demande, 61 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2836914	A1	20030912	FR 2002-2996	20020311
WO 2003078402	A1	20030925	WO 2003-FR751	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			FR 2002-2996	A 20020311

OTHER SOURCE(S): MARPAT 139:246026
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 37 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2003:205217 BIOSIS
DOCUMENT NUMBER: PREV200300205217
TITLE: Targeting aurora2 kinase in oncogenesis: A structural
bioinformatics approach to target validation and rational
drug design.
AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose
W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von Hoff, Daniel
D.; Mahadevan, Daruka [Reprint Author]
CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, 1515 North
Campbell Avenue, Tucson, AZ, 85724, USA
dmahadevan@azcc.arizona.edu
SOURCE: Molecular Cancer Therapeutics, (March 2003) Vol. 2, No. 3,
pp. 283-294. print.
ISSN: 1535-7163 (ISSN print).
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Apr 2003
Last Updated on STN: 10 Jun 2003

L12 ANSWER 11 OF 37 BIOTECHDS COPYRIGHT 2003 THOMSON DERWENT/ISI on STN
ACCESSION NUMBER: 2002-16919 BIOTECHDS
TITLE: New oligonucleotide targets and **inhibits** human
aurora 2 kinase mRNA;
for use in cancer diagnosis and therapy
PATENT ASSIGNEE: TT PHARM INC
PATENT INFO: JP 2002095479 2 Apr 2002
APPLICATION INFO: JP 2000-287928 22 Sep 2000
PRIORITY INFO: JP 2000-287928 22 Sep 2000
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
OTHER SOURCE: WPI: 2002-439988 [47]

L12 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:927427 HCAPLUS
DOCUMENT NUMBER: 138:14054
TITLE: Preparation of thiazole compounds as
inhibitors of protein kinases
INVENTOR(S): Cochran, John; Nanthakumar, Suganthini; Harrington,
Edmund; Wang, Jian
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096905	A1	20021205	WO 2002-US16352	20020523
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,			

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003119856 A1 20030626 US 2002-154118 20020523
PRIORITY APPLN. INFO.: US 2001-295158P P 20010601
OTHER SOURCE(S): MARPAT 138:14054
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:615623 HCAPLUS
DOCUMENT NUMBER: 137:169517
TITLE: Oxazolyl-pyrazole derivatives as protein kinase
inhibitors, their preparation and
combinatorial libraries, and their pharmaceutical use
in the **treatment** of cancer and other
diseases and disorders
INVENTOR(S): Berta, Daniela; Felder, Eduard; Vulpetti, Anna; Villa,
Marzia
PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062804	A1	20020815	WO 2002-EP995	20020128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			GB 2001-2687	A 20010202
OTHER SOURCE(S):			MARPAT 137:169517	
REFERENCE COUNT:	2		THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L12 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:615605 HCAPLUS
DOCUMENT NUMBER: 137:169539
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3, for
treatment of cancer, diabetes, and Alzheimer's
disease
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec,
Julian M. C.; Miller, Andrew; Knegt, Ronald
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 335 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062789	A1	20020815	WO 2001-US51031	20011219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 WO 2002066461 A1 20020829 WO 2001-US49139 20011219
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 WO 2002068415 A1 20020906 WO 2001-US50312 20011219
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2003004161 A1 20030102 US 2001-26975 20011219
 US 6653300 B2 20031125
 US 2003036543 A1 20030220 US 2001-25164 20011219
 US 2003055068 A1 20030320 US 2001-26967 20011219
 US 2003078275 A1 20030424 US 2001-27001 20011219
 US 6653301 B2 20031125
 US 2003105090 A1 20030605 US 2001-26966 20011219
 EP 1345922 A1 20030924 EP 2001-271061 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1345927 A1 20030924 EP 2001-994510 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 2003022885 A1 20030130 US 2001-34019 20011220
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 NO 2003002736 A 20030818 NO 2003-2736 20030616
 PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US51031 W 20011219
 OTHER SOURCE(S): MARPAT 137:169539
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 L12 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:575070 HCAPLUS
 DOCUMENT NUMBER: 137:119705
 TITLE: Preparation of pyrazole compounds useful as protein kinase **inhibitors**, and therapeutic use thereof

INVENTOR(S) : Bebbington, David; Charrier, Jean-Damien
PATENT ASSIGNEE(S) : Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059112	A2	20020801	WO 2001-US49594	20011220
WO 2002059112	A3	20030206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1355905	A1	20031029	EP 2001-273861	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
EP 1345929	A2	20030924	EP 2001-994347	20011220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US49139	W 20011219

WO 2001-US50312 W 20011219
WO 2001-US49594 W 20011220

OTHER SOURCE(S): MARPAT 137:119705

L12 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:575069 HCAPLUS

DOCUMENT NUMBER: 137:109292

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3, for
treatment of cancer, diabetes, and Alzheimer's
disease

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies,
Robert; Golec, Julian; Kay, David; Knegtel, Ronald;
Patel, Sanjay

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 337 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059111	A2	20020801	WO 2001-US51120	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1345926 A2 20030924 EP 2001-993360 20011219
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 BR 2001016493 A 20030930 BR 2001-16493 20011219
 EP 1355905 A1 20031029 EP 2001-273861 20011219
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 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 2003022885 A1 20030130 US 2001-34019 20011220
 NO 2003002670 A 20030815 NO 2003-2670 20030612
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US51120 W 20011219
 OTHER SOURCE(S): MARPAT 137:109292

L12 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:555487 HCAPLUS
 DOCUMENT NUMBER: 137:125169
 TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
 protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3
 INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec,
 Julian; Miller, Andrew; Knegt, Ronald
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 333 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057259	A2	20020725	WO 2001-US49401	20011219
WO 2002057259	A3	20030424		
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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,				
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,				
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
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WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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WO 2002068415 A1 20020906 WO 2001-US50312 20011219
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003004161 A1 20030102 US 2001-26975 20011219
US 6653300 B2 20031125
US 2003036543 A1 20030220 US 2001-25164 20011219
US 2003055068 A1 20030320 US 2001-26967 20011219
US 2003078275 A1 20030424 US 2001-27001 20011219
US 6653301 B2 20031125
US 2003105090 A1 20030605 US 2001-26966 20011219
EP 1345922 A1 20030924 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
AU 2002031166 A5 20020701 AU 2002-31166 20011220
US 2003004164 A1 20030102 US 2001-34683 20011220
US 2003022885 A1 20030130 US 2001-34019 20011220
EP 1345928 A2 20030924 EP 2001-991439 20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2003002704 A 20030821 NO 2003-2704 20030613
PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US49139 W 20011219
WO 2001-US50312 W 20011219
WO 2001-US49585 W 20011220

OTHER SOURCE(S): MARPAT 137:57588

L12 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:487556 HCAPLUS

DOCUMENT NUMBER: 137:47221

TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
protein kinase **inhibitors**, especially of
Aurora-2 and GSK-3, for
treatment of cancer, diabetes, and Alzheimer's
disease

INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies,
Robert; Everitt, Simon; Kay, David; Knegt, Ronald;
Patel, Sanjay

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 342 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050065	A2	20020627	WO 2001-US49140	20011219

WO 2002050065 A3 20021024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002034047 A5 20020701 AU 2002-34047 20011219
WO 2002066461 A1 20020829 WO 2001-US49139 20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2002068415 A1 20020906 WO 2001-US50312 20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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US 2003004161 A1 20030102 US 2001-26975 20011219
US 6653300 B2 20031125
US 2003036543 A1 20030220 US 2001-25164 20011219
US 2003055068 A1 20030320 US 2001-26967 20011219
US 2003078275 A1 20030424 US 2001-27001 20011219
US 6653301 B2 20031125
US 2003105090 A1 20030605 US 2001-26966 20011219
EP 1345922 A1 20030924 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1345925 A2 20030924 EP 2001-985059 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003004164 A1 20030102 US 2001-34683 20011220
US 2003022885 A1 20030130 US 2001-34019 20011220
NO 2003002671 A 20030818 NO 2003-2671 20030612
NO 2003002704 A 20030821 NO 2003-2704 20030613

PRIORITY APPLN. INFO.:
US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US49139 W 20011219
WO 2001-US49140 W 20011219
WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 137:47221

L12 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:220584 HCAPLUS
DOCUMENT NUMBER: 136:247584
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S) : Bebbington, David; Knegt, Ronald; Golec, Julian M.
 C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien
 PATENT ASSIGNEE(S) : Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 356 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022608	A1	20020321	WO 2001-US42152	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096871	A5	20020326	AU 2001-96871	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317452	A1	20030611	EP 2001-977779	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001188	A	20030513	NO 2003-1188	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US42152	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S) :			MARPAT 136:247584	
REFERENCE COUNT:			3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L12 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:220583 HCAPLUS
 DOCUMENT NUMBER: 136:247583
 TITLE: Preparation of pyrazolamines and analogs as protein
 kinase **inhibitors** for **treatment** of
 cancer, diabetes, and Alzheimer's **disease**
 INVENTOR(S) : Davies, Robert; Bebbington, David; Knegt, Ronald;
 Wannamaker, Marion; Li, Pan; Forester, Cornelia;
 Pierce, Albert; Kay, David
 PATENT ASSIGNEE(S) : Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 373 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001091013	A5	20020326	AU 2001-91013	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914
EP 1318997	A1	20030618	EP 2001-971082	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001191	A	20030513	NO 2003-1191	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28940	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247583				
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				
L12 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN				
ACCESSION NUMBER: 2002:220582 HCAPLUS				
DOCUMENT NUMBER: 136:247582				
TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease				
INVENTOR(S): Bebbington, David; Binch, Hayley; Knegtel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert				
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA				
SOURCE: PCT Int. Appl., 355 pp.				
CODEN: PIXXD2				
DOCUMENT TYPE: Patent				

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
WO 2002022606	A1	20020321	WO 2001-US28803	20010914			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM						
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG						
AU 2001090944	A5	20020326	AU 2001-90944	20010914			
US 2003055044	A1	20030320	US 2001-953505	20010914			
US 6638926	B2	20031028					
US 2003064981	A1	20030403	US 2001-952836	20010914			
US 6613776	B2	20030902					
US 2003064982	A1	20030403	US 2001-952875	20010914			
US 2003073687	A1	20030417	US 2001-952671	20010914			
US 2003078166	A1	20030424	US 2001-955601	20010914			
US 2003083327	A1	20030501	US 2001-952833	20010914			
US 6610677	B2	20030826					
EP 1317448	A1	20030611	EP 2001-971006	20010914			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
EP 1345922	A1	20030924	EP 2001-271061	20011219			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
EP 1355905	A1	20031029	EP 2001-273861	20011219			
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
NO 2003001189	A	20030513	NO 2003-1189	20030314			
NO 2003002704	A	20030821	NO 2003-2704	20030613			
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915			
			US 2000-257887P	P 20001221			
			US 2001-286949P	P 20010427			
			WO 2001-US28803	W 20010914			
			WO 2001-US49139	W 20011219			
			WO 2001-US50312	W 20011219			
OTHER SOURCE(S):	MARPAT 136:247582						
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT					
L12 ANSWER 23 OF 37	HCAPLUS	COPYRIGHT 2003 ACS on STN					
ACCESSION NUMBER:	2002:220581	HCAPLUS					
DOCUMENT NUMBER:	136:247581						
TITLE:	Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease						
INVENTOR(S):	Golec, Julian M. C.; Charrier, Jean-Damien; Knegt, Ronald; Bebbington, David; Davies, Robert; Li, Pan						
PATENT ASSIGNEE(S):	Vertex Pharmaceuticals Incorporated, USA						
SOURCE:	PCT Int. Appl., 357 pp. CODEN: PIXXD2						
DOCUMENT TYPE:	Patent						
LANGUAGE:	English						
FAMILY ACC. NUM. COUNT:	14						
PATENT INFORMATION:							

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002022605	A1	20020321	WO 2001-US28793	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092670	A5	20020326	AU 2001-92670	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317449	A1	20030611	EP 2001-973050	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28793	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247581				
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L12 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220580 HCAPLUS

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase **inhibitors**, especially of **Aurora-2** and GSK-3, for **treating** cancer, diabetes and Alzheimer's **disease**.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001094558 A5 20020326 AU 2001-94558 20010914
 US 2003055044 A1 20030320 US 2001-953505 20010914
 US 6638926 B2 20031028
 US 2003064981 A1 20030403 US 2001-952836 20010914
 US 6613776 B2 20030902
 US 2003064982 A1 20030403 US 2001-952875 20010914
 US 2003073687 A1 20030417 US 2001-952671 20010914
 US 2003078166 A1 20030424 US 2001-955601 20010914
 US 2003083327 A1 20030501 US 2001-952833 20010914
 US 6610677 B2 20030826
 EP 1317450 A1 20030611 EP 2001-975210 20010914

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1345922 A1 20030924 EP 2001-271061 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1355905 A1 20031029 EP 2001-273861 20011219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

NO 2003001190 A 20030513 NO 2003-1190 20030314

NO 2003002704 A 20030821 NO 2003-2704 20030613

PRIORITY APPLN. INFO.:

US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US28792 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:247606

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220579 HCAPLUS

DOCUMENT NUMBER: 136:247580

TITLE: Preparation of pyrazolamines and analogs as protein
 kinase **inhibitors** for **treatment** of
 cancer, diabetes, and Alzheimer's **disease**

INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington,
 David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 406 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001090912	A5	20020326	AU 2001-90912	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613

PRIORITY APPLN. INFO.:

US 2000-232795P	P	20000915
US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
WO 2001-US28738	W	20010914
WO 2001-US49139	W	20011219
WO 2001-US50312	W	20011219

OTHER SOURCE(S): MARPAT 136:247580
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:220578 HCAPLUS
 DOCUMENT NUMBER: 136:263164
 TITLE: Preparation of triazolamines as protein kinase
 inhibitors for treatment of cancer,
 diabetes, and Alzheimer's disease
 INVENTOR(S): Bebbington, David; Knegetel, Ronald; Binch, Haley;
 Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 377 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
WO 2002022602	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096875	A5	20020326	AU 2001-96875	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914

US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US42162	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219

OTHER SOURCE(S): MARPAT 136:263164

L12 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220577 HCAPLUS

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein kinase **inhibitors** for **treatment** of cancer, diabetes, and Alzheimer's **disease**

INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley; Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090914	A5	20020326	AU 2001-90914	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914

US 2003083327 A1 20030501 US 2001-952833 20010914
 US 6610677 B2 20030826
 EP 1317444 A1 20030611 EP 2001-970971 20010914
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1345922 A1 20030924 EP 2001-271061 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US28740 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 OTHER SOURCE(S): MARPAT 136:247579
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:488124 HCAPLUS
 DOCUMENT NUMBER: 137:59517
 TITLE: Human **AURORA-1** and **AURORA**
 -2 kinases, cDNA and amino acid sequences,
 and recombinant production
 INVENTOR(S): Plowman, Gregory; Mossie, Kevin
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
 Ser. No. 5,268, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002081578	A1	20020627	US 1998-12135	19980122
CN 1205740	A	19990120	CN 1996-199101	19961125
US 5962312	A	19991005	US 1996-755728	19961125
CA 2318352	AA	19990729	CA 1999-2318352	19990121
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508937	T2	20020326	JP 2000-528695	19990121
US 6207401	B1	20010327	US 1999-283011	19990331
PRIORITY APPLN. INFO.:			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109

US 1998-12135 A 19980122
WO 1999-US1283 W 19990121

L12 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:581873 HCAPLUS
DOCUMENT NUMBER: 135:152802
TITLE: Preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-carboxylic acid derivatives as **inhibitors** of ERK
INVENTOR(S): Green, Jeremy; Cao, Jingrong; Hale, Michael; Baker, Christopher; Maltais, Francois; Janetka, James; Mullican, Michael; Bemis, Guy; Xie, Xiaoling; Straub, Judith; Tang, Qing; Mashall, Robert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057022	A2	20010809	WO 2001-US3911	20010205
WO 2001057022	A3	20020307		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2001004424	A	20020108	BR 2001-4424	20010205
EP 1200422	A2	20020502	EP 2001-908911	20010205
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003522163	T2	20030722	JP 2001-557854	20010205
NO 2001004837	A	20011204	NO 2001-4837	20011004
US 2003040536	A1	20030227	US 2001-972437	20011005
US 6528509	B2	20030304		
LT 4981	B	20030127	LT 2001-103	20011017
BG 106054	A	20020628	BG 2001-106054	20011026
US 6593357	B1	20030715	US 2002-225719	20020822
PRIORITY APPLN. INFO.:			US 2000-180506P	P 20000205
			US 2000-191956P	P 20000324
			US 2000-242935P	P 20001024
			WO 2001-US3911	W 20010205
			US 2001-972437	A3 20011005
OTHER SOURCE(S):	MARPAT 135:152802			

L12 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:565012 HCAPLUS
DOCUMENT NUMBER: 135:137521
TITLE: Preparation of 4-[N-(5-pyrimidyl)amino]quinolines as **inhibitors** of **aurora 2** kinase
INVENTOR(S): Mortlock, Andrew Austen; Jung, Frederic Henri
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055116	A2	20010802	WO 2001-GB245	20010124
WO 2001055116	A3	20011227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1294709	A2	20030326	EP 2001-946855	20010124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2003520855	T2	20030708	JP 2001-555058	20010124
US 2003105129	A1	20030605	US 2002-182454	20020726
PRIORITY APPLN. INFO.:			EP 2000-400228	A 20000128
			WO 2001-GB245	W 20010124
OTHER SOURCE(S):	MARPAT 135:137521			

L12 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228867 HCAPLUS

DOCUMENT NUMBER: 134:266318

TITLE: Preparation of quinazolines as **aurora**
2 kinase **inhibitors**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:			GB 1999-22171	A 19990921
			WO 2000-GB3593	W 20000919

OTHER SOURCE(S): MARPAT 134:266318

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:228866 HCAPLUS
 DOCUMENT NUMBER: 134:266317
 TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 306 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL	
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918
OTHER SOURCE(S):		MARPAT 134:266317		
REFERENCE COUNT:	11	THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L12 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:228865 HCAPLUS
 DOCUMENT NUMBER: 134:266316
 TITLE: Preparation of quinazoline derivatives, method of preparation and use in **inhibiting aurora 2** kinase
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,	

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 BR 2000014136 A 20020521 BR 2000-14136 20000918
 EP 1218357 A1 20020703 EP 2000-962682 20000918
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003509498 T2 20030311 JP 2001-524974 20000918
 EE 200200148 A 20030415 EE 2002-148 20000918
 NO 2002001395 A 20020515 NO 2002-1395 20020320
 BG 106535 A 20021229 BG 2002-106535 20020320
 PRIORITY APPLN. INFO.: GB 1999-22173 A 19990921
 WO 2000-GB3562 W 20000918
 OTHER SOURCE(S): MARPAT 134:266316
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:228864 HCAPLUS
 DOCUMENT NUMBER: 134:252355
 TITLE: Preparation of quinazolines as **aurora**
2 kinase inhibitors
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000014133 A 20020611 BR 2000-14133 20000918 EP 1218356 A1 20020703 EP 2000-962677 20000918 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL JP 2003509497 T2 20030311 JP 2001-524973 20000918 EE 200200149 A 20030415 EE 2002-149 20000918 AU 763242 B2 20030717 AU 2000-74325 20000918 BG 106491 A 20021229 BG 2002-106491 20020307 NO 2002001401 A 20020521 NO 2002-1401 20020320 PRIORITY APPLN. INFO.: GB 1999-22152 A 19990921 GB 1999-22156 A 19990921 GB 1999-22159 A 19990921 WO 2000-GB3556 W 20000918 OTHER SOURCE(S): MARPAT 134:252355 REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L12 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:763599 HCAPLUS
 DOCUMENT NUMBER: 134:27814
 TITLE: The mitotic serine/threonine kinase Aurora2/AIK is regulated by phosphorylation and degradation
 AUTHOR(S): Walter, Annette O.; Seghezzi, Wolfgang; Korver, Wouter; Sheung, Julie; Lees, Emma
 CORPORATE SOURCE: DNAX Research Institute, Palo Alto, CA, 94304, USA
 SOURCE: Oncogene (2000), 19(42), 4906-4915
 CODEN: ONCNES; ISSN: 0950-9232
 PUBLISHER: Nature Publishing Group
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 37 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
 ACCESSION NUMBER: 2000:312635 BIOSIS
 DOCUMENT NUMBER: PREV200000312635
 TITLE: Degradation of human Aurora2 protein kinase by the anaphase-promoting complex-ubiquitin-proteasome pathway.
 AUTHOR(S): Honda, Kei; Mihara, Hirotugu; Kato, Yuzo; Yamaguchi, Akio; Tanaka, Hirofumi; Yasuda, Hideyo; Furukawa, Koichi; Urano, Takeshi [Reprint author]
 CORPORATE SOURCE: Department of Biochemistry II, Nagoya University School of Medicine, 65 Tsurumai-machi, Showa-ku, Nagoya, 466-0065, Japan
 SOURCE: Oncogene, (1 June, 2000) Vol. 19, No. 24, pp. 2812-2819. print.
 CODEN: ONCNES. ISSN: 0950-9232.
 DOCUMENT TYPE: Article
 LANGUAGE: English
 ENTRY DATE: Entered STN: 19 Jul 2000
 Last Updated on STN: 7 Jan 2002

L12 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:487404 HCAPLUS
 DOCUMENT NUMBER: 131:126397
 TITLE: AURORA proteins with sequence similarity to protein tyrosine kinases and cDNAs encoding them and their diagnostic and therapeutic uses
 INVENTOR(S): Plowman, Gregory D.; Mossie, Kevin
 PATENT ASSIGNEE(S): Sugen, Inc., USA
 SOURCE: PCT Int. Appl., 153 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002081578	A1	20020627	US 1998-12135	19980122
CA 2318352	AA	19990729	CA 1999-2318352	19990121

AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508937	T2	20020326	JP 2000-528695	19990121
PRIORITY APPLN. INFO.:			US 1998-12135	A 19980122
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			WO 1999-US1283	W 19990121

=> d his

(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS, LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

```

L1      23587 S AUR##
L2      2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L3      454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
L4      135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
L5      576263 S L3 OR L4
L6      26 S L2 AND L5
L7      12 DUP REM L6 (14 DUPLICATES REMOVED)
L8      144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
L9      54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L10     45 DUP REM L9 (9 DUPLICATES REMOVED)
L11     37 S L10 AND (TREAT? OR DISEASE?)
L12     37 DUP REM L11 (0 DUPLICATES REMOVED)

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=> e plowman g/au

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E1      2      PLOWMAN F T/AU
E2      1      PLOWMAN F W/AU
E3      107 --> PLOWMAN G/AU
E4      336     PLOWMAN G D/AU
E5      1      PLOWMAN G R/AU
E6      1      PLOWMAN GREGORY D/AU
E7      15     PLOWMAN GREG/AU
E8      8      PLOWMAN GREG D/AU
E9      22     PLOWMAN GREGORY/AU
E10     167    PLOWMAN GREGORY D/AU
E11     1      PLOWMAN GREGORY DEAN/AU
E12     1      PLOWMAN GREGOSY D/AU

```

=> s e3-e10

```

L13     657 ("PLOWMAN G"/AU OR "PLOWMAN G D"/AU OR "PLOWMAN G R"/AU OR "PLOWMAN GREGORY D"/AU OR "PLOWMAN GREG"/AU OR "PLOWMAN GREG D"/AU OR "PLOWMAN GREGORY"/AU OR "PLOWMAN GREGORY D"/AU)

```

=> e mossie k/au

```

E1      1      MOSSICHUK N M/AU
E2      1      MOSSIDIETH V/AU
E3      31 --> MOSSIE K/AU
E4      22     MOSSIE K G/AU
E5      20     MOSSIE KEVIN/AU
E6      9      MOSSIE KEVIN G/AU
E7      1      MOSSIE KEVIN MXOLISI GODWIN/AU
E8      3      MOSSIE R/AU
E9      20     MOSSIE R D/AU
E10     1      MOSSIE RAYMOND D/AU
E11     1      MOSSIEMAN D S/AU
E12     4      MOSSIENKO E V/AU

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=> s e3-e5
L14 73 ("MOSSIE K"/AU OR "MOSSIE K G"/AU OR "MOSSIE KEVIN"/AU)

=> d his

(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS, LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

L1 23587 S AUR##
L2 2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L3 454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
L4 135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
L5 576263 S L3 OR L4
L6 26 S L2 AND L5
L7 12 DUP REM L6 (14 DUPLICATES REMOVED)
L8 144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
L9 54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L10 45 DUP REM L9 (9 DUPLICATES REMOVED)
L11 37 S L10 AND (TREAT? OR DISEASE?)
L12 37 DUP REM L11 (0 DUPLICATES REMOVED)
E PLOWMAN G/AU
L13 657 S E3-E10
E MOSSIE K/AU
L14 73 S E3-E5

=> s l8 and l14
L15 8 L8 AND L14

=> dup rem l15
PROCESSING COMPLETED FOR L15
L16 7 DUP REM L15 (1 DUPLICATE REMOVED)

=> d 1-7 ibib ab

L16 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:488124 HCAPLUS
DOCUMENT NUMBER: 137:59517
TITLE: Human **AURORA-1** and **AURORA**
-2 kinases, cDNA and amino acid sequences,
and recombinant production
INVENTOR(S): Plowman, Gregory; **Mossie, Kevin**
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
Ser. No. 5,268, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002081578	A1	20020627	US 1998-12135	19980122
CN 1205740	A	19990120	CN 1996-199101	19961125
US 5962312	A	19991005	US 1996-755728	19961125
CA 2318352	AA	19990729	CA 1999-2318352	19990121
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,

UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9925605 A1 19990809 AU 1999-25605 19990121
EP 1051500 A2 20001115 EP 1999-905450 19990121

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI

JP 2002508937 T2 20020326 JP 2000-528695 19990121
US 6207401 B1 20010327 US 1999-283011 19990331

PRIORITY APPLN. INFO.:

US 1995-8809P P 19951218
US 1996-23943P P 19960814
US 1996-755728 A2 19961125
US 1998-5268 B2 19980109
US 1998-12135 A 19980122
WO 1999-US1283 W 19990121

AB The invention provides protein and cDNA sequences for human **AURORA**
-1 (AUR1) and/or **AURORA-2** (AUR2), which are
members of serine/threonine kinase family contg. short N-terminal
extensions. AUR1 mRNA has been shown to be broadly expressed in rapidly
dividing cells, derived from both normal and tumor tissues. AUR2 mRNA,
however, has been shown to be expressed in a more restricted pattern being
low or absent in most normal tissues and abundant in only a subset of
tumor-derived cell lines. The invention also demonstrated that AUR1 and
AUR2 kinases were able to phosphorylate myelin basic protein. The
invention further discussed the possible involvement of AUR1 and AUR2
kinases in cancer and/or other signal transduction disorders, and the
possible biol., diagnostic and/or therapeutic uses of these kinases. The
AUR1 and AUR2 genes are mapped to chromosome 17p13.1 and 20q13.2 resp.
Methods for treatment, diagnosis, and screening are provided for AUR1
and/or AUR2 related diseases or conditions characterized by an abnormal
interaction between a AUR1 and/or AUR2 polypeptide and a AUR1 and/or AUR2
binding partner.

L16 ANSWER 2 OF 7 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2001:468440 BIOSIS
DOCUMENT NUMBER: PREV200100468440
TITLE: Diagnosis and treatment of **AUR-1** and/or
AUR-2 related disorders.
AUTHOR(S): Plowman, Gregory [Inventor, Reprint author]; **Mossie,**
Kevin [Inventor]
CORPORATE SOURCE: San Carlos, CA, USA
ASSIGNEE: Sugen, Inc., Redwood, CA, USA
PATENT INFORMATION: US 6207401 March 27, 2001
SOURCE: Official Gazette of the United States Patent and Trademark
Office Patents, (Mar. 27, 2001) Vol. 1244, No. 4. e-file.
CODEN: OGUPE7. ISSN: 0098-1133.
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 3 Oct 2001
Last Updated on STN: 23 Feb 2002

AB The present invention relates to AUR1 and/or AUR2 polypeptides, nucleic
acids encoding such polypeptides, cells, tissues and animals containing
such nucleic acids, antibodies to such polypeptides, assays utilizing such
polypeptides, and methods relating to all of the foregoing. Methods for
treatment, diagnosis, and screening are provided for AUR1 and/or AUR2
related diseases or conditions characterized by an abnormal interaction
between a AUR1 and/or AUR2 polypeptide and a AUR1 and/or AUR2 binding
partner.

L16 ANSWER 3 OF 7 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2000:277598 BIOSIS
DOCUMENT NUMBER: PREV200000277598
TITLE: Diagnosis and treatment of **AUR-1** and/or

AUR-2 related disorders.
 AUTHOR(S): Plowman, Gregory [Inventor, Reprint author]; **Mossie, Kevin** [Inventor]
 CORPORATE SOURCE: Gauteng, South Africa
 ASSIGNEE: Sugan, Inc., Redwood City, CA, USA
 PATENT INFORMATION: US 5972676 October 26, 1999
 SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Oct. 26, 1999) Vol. 1227, No. 4. e-file.
 CODEN: OGUPE7. ISSN: 0098-1133.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 ENTRY DATE: Entered STN: 6 Jul 2000
 Last Updated on STN: 7 Jan 2002

AB The present invention relates to **AUR-1** and/or **AUR-2** polypeptides, nucleic acids encoding such polypeptides, cells, tissues and animals containing such nucleic acids, antibodies to such polypeptides, assays utilizing such polypeptides, and methods relating to all of the foregoing. Methods for treatment, diagnosis, and screening are provided for **AUR-1** and/or **AUR-2** related diseases or conditions characterized by an abnormal interaction between a **AUR-1** and/or **AUR-2** polypeptide and a **AUR-1** and/or **AUR-2** binding partner.

L16 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:487404 HCAPLUS
 DOCUMENT NUMBER: 131:126397
 TITLE: AURORA proteins with sequence similarity to protein tyrosine kinases and cDNAs encoding them and their diagnostic and therapeutic uses
 INVENTOR(S): Plowman, Gregory D.; **Mossie, Kevin**
 PATENT ASSIGNEE(S): Sugan, Inc., USA
 SOURCE: PCT Int. Appl., 153 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002081578	A1	20020627	US 1998-12135	19980122
CA 2318352	AA	19990729	CA 1999-2318352	19990121
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002508937	T2	20020326	JP 2000-528695	19990121
PRIORITY APPLN. INFO.:			US 1998-12135	A 19980122
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			WO 1999-US1283	W 19990121

AB Two novel proteins, **AURORA-1** (**AUR-1**) and **AURORA-2** (**AUR-2**) that are members of the protein tyrosine kinase sequence family are identified and cDNAs encoding them are cloned. The proteins may play a role in disease and methods of using them in the diagnosis of disease and in screening for effectors that may be of therapeutic use are described. The cDNAs were cloned by PCR from an array of human tissue mRNAs with primers derived from strongly conserved sequences of protein tyrosine kinases. Sequence comparison showed them to be most similar to the Drosophila AURORA gene product. The cDNAs were expressed in COS cells with proteins with mol. wts. consistent with those predicted from the amino acid sequence obtained. The genes were widely expressed in a no. of normal tissues and in colorectal cancers. **AUR-2** was mapped to an amplicon of chromosome 20 assocd. with tumors and overexpression of wild-type and mutant **AUR-2** genes in rat fibroblasts led to an activating mutant causing neoplastic transformation.

L16 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:635436 HCAPLUS
DOCUMENT NUMBER: 131:254328
TITLE: Human **AURORA-1** and **AURORA-2** kinases, cDNA and amino acid sequences, and recombinant production
INVENTOR(S): Plowman, Gregory; **Mossie, Kevin**
PATENT ASSIGNEE(S): Sugan, Inc., USA
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5962312	A	19991005	US 1996-755728	19961125
US 5972676	A	19991026	US 1997-974655	19971119
US 2002081578	A1	20020627	US 1998-12135	19980122
US 6207401	B1	20010327	US 1999-283011	19990331
PRIORITY APPLN. INFO.:			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A3 19961125
			US 1998-5268	B2 19980109
			US 1998-12135	A3 19980122

AB The present invention relates to human **AURORA-1** (**AUR-1**) and/or **AURORA-2** (**AUR-2**) polypeptides, nucleic acids encoding such polypeptides, cells, tissues and animals contg. such nucleic acids. The invention also provides a probe for detection of nucleic acids encoding **AUR-1** and/or **AUR-2** polypeptides. The invention further provides an expression vector contg. nucleic acids encoding **AUR-1** and/or **AUR-2** polypeptides used for recombinant prodn. of polypeptides in a host cell. The cDNA sequences as well as the corresponding amino acids sequences of human **AUR-1** and **AUR-2** are provided. **AUR-1** and **AUR-2** are related serine/threonine kinases with short N-terminal extensions. AUR1 mRNA has been shown to be broadly expressed in rapidly dividing cells, derived from both normal and tumor tissues. AUR2 mRNA, however, has been shown to be expressed in a more restricted pattern being low or absent in most normal tissues and abundant in only a subset of tumor-derived cell lines. The invention also demonstrated that **AUR-1** and **AUR-2** kinases were able to phosphorylate myelin basic protein. The invention further discussed the possible involvement of **AUR-1** and **AUR-2** kinases in cancer and/or other signal

transduction disorders, and the possible biol., diagnostic and/or therapeutic uses of these kinases.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2003 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 1998:393104 HCAPLUS

DOCUMENT NUMBER: 129:131949

TITLE: A homolog of Drosophila aurora kinase is oncogenic and amplified in human colorectal cancers

AUTHOR(S): Bischoff, James R.; Anderson, Lee; Zhu, Yingfang; Mossie, Kevin; Ng, Lelia; Souza, Brian; Schryver, Brian; Flanagan, Peter; Clairvoyant, Felix; Ginther, Charles; Chan, Clarence S. M.; Novotny, Mike; Slamon, Dennis J.; Plowman, Gregory D.

CORPORATE SOURCE: SUGEN, Inc., Redwood City, CA, 94063, USA

SOURCE: EMBO Journal (1998), 17(11), 3052-3065

CODEN: EMJODG; ISSN: 0261-4189

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Genetic and biochem. studies in lower eukaryotes have identified several proteins that ensure accurate segregation of chromosomes. These include the Drosophila aurora and yeast Ip11 kinases that are required for centrosome maturation and chromosome segregation. The authors have identified two human homologues of these genes, termed auroral and aurora2, that encode cell-cycle-regulated serine/threonine kinases. Here the authors demonstrate that the aurora2 gene maps to chromosome 20q13, a region amplified in a variety of human cancers, including a significant no. of colorectal malignancies. The authors propose that aurora2 may be a target of this amplicon since its DNA is amplified and its RNA overexpressed, in more than 50% of primary colorectal cancers. Furthermore, overexpression of aurora2 transforms rodent fibroblasts. These observations implicate aurora2 as a potential oncogene in many colon, breast and other solid tumors, and identify centrosome-assocd. proteins as novel targets for cancer therapy.

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 7 BIOTECHDS COPYRIGHT 2003 THOMSON DERWENT/ISI on STN

ACCESSION NUMBER: 1997-09437 BIOTECHDS

TITLE: Aurora-1 and aurora-2 genes;

vector expression in host cell, DNA probe and antibody production by hybridoma cell culture for cancer gene therapy

AUTHOR: Plowman G D; Mossie K G

PATENT ASSIGNEE: Sugan

LOCATION: Redwood City, CA, USA.

PATENT INFO: WO 9722702 26 Jun 1997

APPLICATION INFO: WO 1996-US18859 25 Nov 1996

PRIORITY INFO: US 1996-23943 14 Aug 1996; US 1995-8809 18 Dec 1995

DOCUMENT TYPE: Patent

LANGUAGE: English

OTHER SOURCE: WPI: 1997-341693 [31]

AB An isolated, enriched or purified human DNA molecule (I) encoding

AURORA-1 (AUR-1) and/or AUR

-2 is new. Also claimed are; a DNA probe for the detection of

(I) in a sample; a recombinant DNA molecule encoding AUR-

1 and/or AUR-2 and a vector or promoter

effective to initiate transcription in a host cell; a recombinant DNA

molecule containing a transcriptional region functional in a cell, a

sequence complementary to an RNA sequence encoding AUR-

1 or AUR-1 and a transcriptional termination

region functional in a cell; an isolated, enriched or purified AUR-1 or AUR-2; an antibody having specific binding affinity to AUR-1 or AUR-2; and a hybridoma cell culture which produces the antibody. The recombinant molecules can be used to create transgenic animals as an in vivo test system for studying the effects of introducing AUR-1 and/or AUR-2 and the effects of regulating the protein. (I) encodes 25-300 residues of the 344 AUR-1, or 403 AUR-2 amino acid protein sequences (specified). The above may be useful for cancer gene therapy. (96pp)

=> d his

(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS, LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

```
L1      23587 S AUR##
L2      2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L3      454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
L4      135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
L5      576263 S L3 OR L4
L6      26 S L2 AND L5
L7      12 DUP REM L6 (14 DUPLICATES REMOVED)
L8      144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
L9      54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L10     45 DUP REM L9 (9 DUPLICATES REMOVED)
L11     37 S L10 AND (TREAT? OR DISEASE?)
L12     37 DUP REM L11 (0 DUPLICATES REMOVED)
        E PLOWMAN G/AU
L13     657 S E3-E10
        E MOSSIE K/AU
L14     73 S E3-E5
L15     8 S L8 AND L14
L16     7 DUP REM L15 (1 DUPLICATE REMOVED)
```

=> s l9 and kinase?

```
L17     49 L9 AND KINASE?
```

=> dup rem l17

PROCESSING COMPLETED FOR L17

```
L18     42 DUP REM L17 (7 DUPLICATES REMOVED)
```

=> s l18 and (treat? or disease?)

5 FILES SEARCHED...

```
L19     37 L18 AND (TREAT? OR DISEASE?)
```

=> dup rem l19

PROCESSING COMPLETED FOR L19

```
L20     37 DUP REM L19 (0 DUPLICATES REMOVED)
```

=> d 1-37 ibib

L20 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:875281 HCAPLUS

TITLE: Preparation of pyrrole derivatives as
inhibitors of ERK2

INVENTOR(S): Hale, Michael R.; Maltais, Francois; Tang, Qing;
Straub, Judith; Aronov, Alexander

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091246	A1	20031106	WO 2003-US13186	20030425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-376259P P 20020426
US 2002-403853P P 20020814

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757703 HCAPLUS

DOCUMENT NUMBER: 139:255408

TITLE: Azolylaminoazines as **inhibitors** of protein **kinases**, and their therapeutic use

INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay, David; Knegt, Ronald; Miller, Andrew; Pierard, Françoise

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078427	A1	20030925	WO 2003-US8125	20030314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-364864P P 20020315

OTHER SOURCE(S): MARPAT 139:255408

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757702 HCAPLUS

DOCUMENT NUMBER: 139:255407

TITLE: Azolylaminoazine compounds as **inhibitors** of protein **kinases**, and their therapeutic use

INVENTOR(S): Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon;

Golec, Julian M. C.; Kay, David; Knegtel, Ronald;
 Miller, Andrew; Pierard, Francoise; Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078426	A1	20030925	WO 2003-US7904	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-364840P P 20020315
 OTHER SOURCE(S): MARPAT 139:255407
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:757700 HCAPLUS
 DOCUMENT NUMBER: 139:276913
 TITLE: Preparation of thiazolylaminopyrimidines and related
 compounds as **inhibitors** of protein

kinases

INVENTOR(S): Bebbington, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA; Binch, Hayley;
 Charrier, Jean-Damien; Everitt, Simon; Golec, Julian
 M. C.; Kay, David; Knegtel, Ronald; Miller, Andrew;
 Pierard, Francoise; et al.
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078423	A1	20030925	WO 2003-US7958	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-364842P P 20020315
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:757527 HCAPLUS
 DOCUMENT NUMBER: 139:255405
 TITLE: Azinylaminoazoles as **inhibitors** of protein **kinases**, and their therapeutic use
 INVENTOR(S): Bebbington, David; Binch, Hayley; Charrier, Jean-Damien; Everitt, Simon; Golec, Julian M. C.; Kay, David; Knegtel, Ronald; Miller, Andrew; Pierard, Françoise
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077921	A1	20030925	WO 2003-US7957	20030314
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2002-365003P P 20020315
 OTHER SOURCE(S): MARPAT 139:255405
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:356438 HCAPLUS
 DOCUMENT NUMBER: 138:353830
 TITLE: Heteroaromatic carboxamide derivatives, particularly 3-aminothiophene-2-carboxamides, useful as protein **kinase inhibitors**, for the **treatment** of cancer, inflammation, and inflammation-related disorders
 INVENTOR(S): Graneto, Matthew; Hanau, Cathleen E.; Perry, Thao D.
 PATENT ASSIGNEE(S): Pharmacia Corporation, USA
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037886	A2	20030508	WO 2002-US34801	20021030
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,			

NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-340816P P 20011030
OTHER SOURCE(S): MARPAT 138:353830

L20 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:117622 HCAPLUS
DOCUMENT NUMBER: 138:170229
TITLE: Preparation of pyrazolone derivatives as
inhibitors of GSK-3, **Aurora-**
2 and CDK-2
INVENTOR(S): Green, Jeremy; Arnost, Michael J.; Pierce, Albert
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011287	A1	20030213	WO 2002-US24726	20020802
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2001-309838P P 20010803
OTHER SOURCE(S): MARPAT 138:170229
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:5957 HCAPLUS
DOCUMENT NUMBER: 138:55984
TITLE: Preparation of azaindoles as protein **kinase inhibitors**
INVENTOR(S): Cox, Paul Joseph; Majid, Tahir Nadeem; Lai, Justine Yeun Quai; Morley, Andrew; Amendola, Shelley; Deprets, Stephanie Daniele; Edlin, Chris; Gardner, Charles J.; Kominos, Dorothea; Pedgrift, Brian Leslie; Halley, Frank; Gillespy, Timothy Alan; Edwards, Michael; Clerc, Francois Frederic; Nemecek, Conception; Houille, Olivier; Damour, Dominique; Bouchard, Herve; Bezard, Daniel; Carrez, Chantal
PATENT ASSIGNEE(S): Aventis Pharma Limited, UK
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000688	A1	20030103	WO 2002-GB2799	20020620
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,			

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
 TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-15109 A 20010621
 US 2001-300257P P 20010622

OTHER SOURCE(S): MARPAT 138:55984
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:719201 HCAPLUS

DOCUMENT NUMBER: 139:246026

TITLE: Preparation of indazoles as **kinase inhibitors**, and their compositions and use for
treatment of cancer

INVENTOR(S): Damour, Dominique; Terrier, Corinne; Nemecek, Patrick

PATENT ASSIGNEE(S): Aventis Pharma S. A., Fr.

SOURCE: Fr. Demande, 61 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2836914	A1	20030912	FR 2002-2996	20020311
WO 2003078402	A1	20030925	WO 2003-FR751	20030307
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: FR 2002-2996 A 20020311

OTHER SOURCE(S): MARPAT 139:246026

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 37 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN

ACCESSION NUMBER: 2003:205217 BIOSIS

DOCUMENT NUMBER: PREV200300205217

TITLE: Targeting aurora2 **kinase** in oncogenesis: A
 structural bioinformatics approach to target validation and
 rational drug design.

AUTHOR(S): Vankayalapati, Hariprasad; Bearss, David J.; Saldanha, Jose
 W.; Munoz, Ruben M.; Rojanala, Sangeeta; Von Hoff, Daniel
 D.; Mahadevan, Daruka [Reprint Author]

CORPORATE SOURCE: Arizona Cancer Center, University of Arizona, 1515 North
 Campbell Avenue, Tucson, AZ, 85724, USA
 dmahadevan@azcc.arizona.edu

SOURCE: Molecular Cancer Therapeutics, (March 2003) Vol. 2, No. 3,
 pp. 283-294. print.
 ISSN: 1535-7163 (ISSN print).

DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 23 Apr 2003
Last Updated on STN: 10 Jun 2003

L20 ANSWER 11 OF 37 BIOTECHDS COPYRIGHT 2003 THOMSON DERWENT/ISI on STN

ACCESSION NUMBER: 2002-16919 BIOTECHDS

TITLE: New oligonucleotide targets and **inhibits** human
aurora 2 kinase mRNA;
for use in cancer diagnosis and therapy

PATENT ASSIGNEE: TT PHARM INC

PATENT INFO: JP 2002095479 2 Apr 2002

APPLICATION INFO: JP 2000-287928 22 Sep 2000

PRIORITY INFO: JP 2000-287928 22 Sep 2000

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

OTHER SOURCE: WPI: 2002-439988 [47]

L20 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:927427 HCAPLUS

DOCUMENT NUMBER: 138:14054

TITLE: Preparation of thiazole compounds as
inhibitors of protein **kinases**

INVENTOR(S): Cochran, John; Nanthakumar, Suganthini; Harrington,
Edmund; Wang, Jian

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096905	A1	20021205	WO 2002-US16352	20020523

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003119856	A1	20030626	US 2002-154118	20020523
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PRIORITY APPLN. INFO.: US 2001-295158P P 20010601

OTHER SOURCE(S): MARPAT 138:14054

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:615623 HCAPLUS

DOCUMENT NUMBER: 137:169517

TITLE: Oxazolyl-pyrazole derivatives as protein
kinase inhibitors, their preparation
and combinatorial libraries, and their pharmaceutical
use in the **treatment** of cancer and other
diseases and disorders

INVENTOR(S): Berta, Daniela; Felder, Eduard; Vulpetti, Anna; Villa,
Marzia

PATENT ASSIGNEE(S): Pharmacia Italia S.p.A., Italy

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062804	A1	20020815	WO 2002-EP995	20020128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			GB 2001-2687	A 20010202
OTHER SOURCE(S): MARPAT 137:169517				
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L20 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:615605 HCAPLUS
DOCUMENT NUMBER: 137:169539
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
protein **kinase inhibitors**,
especially of **Aurora-2** and GSK-3,
for **treatment** of cancer, diabetes, and
Alzheimer's **disease**
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec,
Julian M. C.; Miller, Andrew; Knegetel, Ronald
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 335 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062789	A1	20020815	WO 2001-US51031	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

WO 2002068415 A1 20020906 WO 2001-US50312 20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2003004161 A1 20030102 US 2001-26975 20011219
US 6653300 B2 20031125
US 2003036543 A1 20030220 US 2001-25164 20011219
US 2003055068 A1 20030320 US 2001-26967 20011219
US 2003078275 A1 20030424 US 2001-27001 20011219
US 6653301 B2 20031125
US 2003105090 A1 20030605 US 2001-26966 20011219
EP 1345922 A1 20030924 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1345927 A1 20030924 EP 2001-994510 20011219
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219
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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003004164 A1 20030102 US 2001-34683 20011220
US 2003022885 A1 20030130 US 2001-34019 20011220
NO 2003002704 A 20030821 NO 2003-2704 20030613
NO 2003002736 A 20030818 NO 2003-2736 20030616
PRIORITY APPLN. INFO.: US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US49139 W 20011219
WO 2001-US50312 W 20011219
WO 2001-US51031 W 20011219
OTHER SOURCE(S): MARPAT 137:169539
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L20 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:575070 HCAPLUS
DOCUMENT NUMBER: 137:119705
TITLE: Preparation of pyrazole compounds useful as protein
kinase inhibitors, and therapeutic
use thereof
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059112	A2	20020801	WO 2001-US49594	20011220
WO 2002059112	A3	20030206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 WO 2002066461 A1 20020829 WO 2001-US49139 20011219
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 WO 2002068415 A1 20020906 WO 2001-US50312 20011219
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 US 2003105090 A1 20030605 US 2001-26966 20011219
 EP 1345922 A1 20030924 EP 2001-271061 20011219
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 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 US 2003004164 A1 20030102 US 2001-34683 20011220
 US 2003022885 A1 20030130 US 2001-34019 20011220
 EP 1345929 A2 20030924 EP 2001-994347 20011220
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.:
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 WO 2001-US49594 W 20011220
 OTHER SOURCE(S): MARPAT 137:119705
 L20 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:575069 HCAPLUS
 DOCUMENT NUMBER: 137:109292
 TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein **kinase inhibitors**, especially of **Aurora-2** and GSK-3, for **treatment** of cancer, diabetes, and Alzheimer's **disease**
 INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Golec, Julian; Kay, David; Knegt, Ronald; Patel, Sanjay
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 337 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002059111	A2	20020801	WO 2001-US51120	20011219
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
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WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
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EP 1345926	A2	20030924	EP 2001-993360	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2001016493	A	20030930	BR 2001-16493	20011219
EP 1355905	A1	20031029	EP 2001-273861	20011219
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US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
NO 2003002670	A	20030815	NO 2003-2670	20030612
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
			WO 2001-US51120	W 20011219
OTHER SOURCE(S):		MARPAT 137:109292		

L20 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:555487 HCAPLUS
 DOCUMENT NUMBER: 137:125169
 TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as
 protein kinase inhibitors,
 especially of Aurora-2 and GSK-3
 INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Golec,
 Julian; Miller, Andrew; Knegtel, Ronald
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 333 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057259	A2	20020725	WO 2001-US49401	20011219
WO 2002057259	A3	20030424		
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WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1353916	A2	20031022	EP 2001-994323	20011219
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EP 1355905	A1	20031029	EP 2001-273861	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
NO 2003002703	A	20030819	NO 2003-2703	20030613
NO 2003002704	A	20030821	NO 2003-2704	20030613

PRIORITY APPLN. INFO.:

US 2000-257887P	P	20001221
US 2001-286949P	P	20010427
WO 2001-US49139	W	20011219
WO 2001-US49401	W	20011219
WO 2001-US50312	W	20011219

OTHER SOURCE(S): MARPAT 137:125169

L20 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:487557 HCAPLUS

DOCUMENT NUMBER: 137:57588

TITLE: Pyrazole compounds useful as protein **kinase inhibitors**, and therapeutic use thereof

INVENTOR(S): Golec, Julian; Pierard, Francoise; Charrier, Jean-Damien; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050066	A2	20020627	WO 2001-US49585	20011220
WO 2002050066	A3	20030220		
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WO 2002066461	A1	20020829	WO 2001-US49139	20011219
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 2003055068	A1	20030320	US 2001-26967	20011219

US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
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EP 1355905	A1	20031029	EP 2001-273861	20011219
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AU 2002031166	A5	20020701	AU 2002-31166	20011220
US 2003004164	A1	20030102	US 2001-34683	20011220
US 2003022885	A1	20030130	US 2001-34019	20011220
EP 1345928	A2	20030924	EP 2001-991439	20011220
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NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
			WO 2001-US49585	W 20011220
OTHER SOURCE(S): MARPAT 137:57588				
L20 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN				
ACCESSION NUMBER: 2002:487556 HCAPLUS				
DOCUMENT NUMBER: 137:47221				
TITLE: Preparation of 3-(4-pyrimidinylamino)-1H-pyrazoles as protein kinase inhibitors , especially of Aurora-2 and GSK-3, for treatment of cancer, diabetes, and Alzheimer's disease				
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Davies, Robert; Everitt, Simon; Kay, David; Knegtel, Ronald; Patel, Sanjay				
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA				
SOURCE: PCT Int. Appl., 342 pp. CODEN: PIXXD2				
DOCUMENT TYPE: Patent				
LANGUAGE: English				
FAMILY ACC. NUM. COUNT: 14				
PATENT INFORMATION:				

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050065	A2	20020627	WO 2001-US49140	20011219
WO 2002050065	A3	20021024		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002034047	A5	20020701	AU 2002-34047	20011219
WO 2002066461	A1	20020829	WO 2001-US49139	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001096871 A5 20020326 AU 2001-96871 20010914
 US 2003055044 A1 20030320 US 2001-953505 20010914
 US 6638926 B2 20031028
 US 2003064981 A1 20030403 US 2001-952836 20010914
 US 6613776 B2 20030902
 US 2003064982 A1 20030403 US 2001-952875 20010914
 US 2003073687 A1 20030417 US 2001-952671 20010914
 US 2003078166 A1 20030424 US 2001-955601 20010914
 US 2003083327 A1 20030501 US 2001-952833 20010914
 US 6610677 B2 20030826
 EP 1317452 A1 20030611 EP 2001-977779 20010914
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1345922 A1 20030924 EP 2001-271061 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003001188 A 20030513 NO 2003-1188 20030314
 NO 2003002704 A 20030821 NO 2003-2704 20030613

PRIORITY APPLN. INFO.:
 US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US42152 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:247584
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:220583 HCAPLUS
 DOCUMENT NUMBER: 136:247583
 TITLE: Preparation of pyrazolamines and analogs as protein
kinase inhibitors for
treatment of cancer, diabetes, and Alzheimer's
disease
 INVENTOR(S): Davies, Robert; Bebbington, David; Knegt, Ronald;
 Wannamaker, Marion; Li, Pan; Forester, Cornelia;
 Pierce, Albert; Kay, David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 373 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022607	A1	20020321	WO 2001-US28940	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001091013	A5	20020326	AU 2001-91013	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
BR 2001014088	A	20030617	BR 2001-14088	20010914
EP 1318997	A1	20030618	EP 2001-971082	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001191	A	20030513	NO 2003-1191	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28940	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247583				
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L20 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220582 HCAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein **kinase inhibitors** for **treatment** of cancer, diabetes, and Alzheimer's **disease**

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022606	A1	20020321	WO 2001-US28803	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

AU 2001090944	A5	20020326	AU 2001-90944	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317448	A1	20030611	EP 2001-971006	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001189	A	20030513	NO 2003-1189	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:				
			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28803	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S): MARPAT 136:247582				
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L20 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220581 HCAPLUS

DOCUMENT NUMBER: 136:247581

TITLE: Preparation of pyrazolamines and analogs as protein **kinase inhibitors** for **treatment** of cancer, diabetes, and Alzheimer's **disease**

INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegt, Ronald; Bebbington, David; Davies, Robert; Li, Pan

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022605	A1	20020321	WO 2001-US28793	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001092670	A5	20020326	AU 2001-92670	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914

US 6613776 B2 20030902
 US 2003064982 A1 20030403 US 2001-952875 20010914
 US 2003073687 A1 20030417 US 2001-952671 20010914
 US 2003078166 A1 20030424 US 2001-955601 20010914
 US 2003083327 A1 20030501 US 2001-952833 20010914
 US 6610677 B2 20030826
 EP 1317449 A1 20030611 EP 2001-973050 20010914
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1345922 A1 20030924 EP 2001-271061 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US28793 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219
 OTHER SOURCE(S): MARPAT 136:247581
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:220580 HCAPLUS
 DOCUMENT NUMBER: 136:247606
 TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole
 derivatives as protein **kinase**
inhibitors, especially of **Aurora-**
2 and GSK-3, for **treating** cancer,
 diabetes and Alzheimer's **disease**.
 INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley;
 Knegetel, Ronald; Golec, Julian M. C.; Patel, Sanjay;
 Charrier, Jean-Damien; Kay, David; Davies, Robert
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 357 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022604	A1	20020321	WO 2001-US28792	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001094558	A5	20020326	AU 2001-94558	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914

US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317450	A1	20030611	EP 2001-975210	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1355905	A1	20031029	EP 2001-273861	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2003001190	A	20030513	NO 2003-1190	20030314
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915
			US 2000-257887P	P 20001221
			US 2001-286949P	P 20010427
			WO 2001-US28792	W 20010914
			WO 2001-US49139	W 20011219
			WO 2001-US50312	W 20011219
OTHER SOURCE(S):			MARPAT 136:247606	
REFERENCE COUNT:			5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT	

L20 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:220579 HCAPLUS
 DOCUMENT NUMBER: 136:247580
 TITLE: Preparation of pyrazolamines and analogs as protein
kinase inhibitors for
treatment of cancer, diabetes, and Alzheimer's
disease
 INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington,
 David
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 406 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 14
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022603	A1	20020321	WO 2001-US28738	20010914
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090912	A5	20020326	AU 2001-90912	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317447	A1	20030611	EP 2001-970969	20010914

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1345922 A1 20030924 EP 2001-271061 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
EP 1355905 A1 20031029 EP 2001-273861 20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2003002704 A 20030821 NO 2003-2704 20030613
PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US28738 W 20010914
WO 2001-US49139 W 20011219
WO 2001-US50312 W 20011219
OTHER SOURCE(S): MARPAT 136:247580
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:220578 HCAPLUS
DOCUMENT NUMBER: 136:263164
TITLE: Preparation of triazolamines as protein **kinase**
inhibitors for **treatment** of cancer,
diabetes, and Alzheimer's **disease**
INVENTOR(S): Bebbington, David; Knegtel, Ronald; Binch, Haley;
Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022602	A2	20020321	WO 2001-US42162	20010914
WO 2002022602	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001096875	A5	20020326	AU 2001-96875	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1318814	A2	20030618	EP 2001-977783	20010914
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1345922	A1	20030924	EP 2001-271061	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

EP 1355905 A1 20031029 EP 2001-273861 20011219
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 NO 2003002704 A 20030821 NO 2003-2704 20030613
 PRIORITY APPLN. INFO.: US 2000-232795P P 20000915
 US 2000-257887P P 20001221
 US 2001-286949P P 20010427
 WO 2001-US42162 W 20010914
 WO 2001-US49139 W 20011219
 WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:263164

L20 ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:220577 HCAPLUS

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein
kinase inhibitors for
treatment of cancer, diabetes, and Alzheimer's
disease

INVENTOR(S): Knegtel, Ronald; Bebbington, David; Binch, Hayley;
 Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien;
 Kay, David; Davies, Robert; Li, Pan; Wannamaker,
 Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022601	A1	20020321	WO 2001-US28740	20010914
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2001090914	A5	20020326	AU 2001-90914	20010914
US 2003055044	A1	20030320	US 2001-953505	20010914
US 6638926	B2	20031028		
US 2003064981	A1	20030403	US 2001-952836	20010914
US 6613776	B2	20030902		
US 2003064982	A1	20030403	US 2001-952875	20010914
US 2003073687	A1	20030417	US 2001-952671	20010914
US 2003078166	A1	20030424	US 2001-955601	20010914
US 2003083327	A1	20030501	US 2001-952833	20010914
US 6610677	B2	20030826		
EP 1317444	A1	20030611	EP 2001-970971	20010914
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1345922	A1	20030924	EP 2001-271061	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
EP 1355905	A1	20031029	EP 2001-273861	20011219
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
NO 2003002704	A	20030821	NO 2003-2704	20030613
PRIORITY APPLN. INFO.:			US 2000-232795P	P 20000915

US 2000-257887P P 20001221
US 2001-286949P P 20010427
WO 2001-US28740 W 20010914
WO 2001-US49139 W 20011219
WO 2001-US50312 W 20011219

OTHER SOURCE(S): MARPAT 136:247579
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:488124 HCAPLUS

DOCUMENT NUMBER: 137:59517

TITLE: Human **AURORA-1** and **AURORA**
-2 kinases, cDNA and amino acid
sequences, and recombinant production

INVENTOR(S): Plowman, Gregory; Mossie, Kevin

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S.
Ser. No. 5,268, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002081578	A1	20020627	US 1998-12135	19980122
CN 1205740	A	19990120	CN 1996-199101	19961125
US 5962312	A	19991005	US 1996-755728	19961125
CA 2318352	AA	19990729	CA 1999-2318352	19990121
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508937	T2	20020326	JP 2000-528695	19990121
US 6207401	B1	20010327	US 1999-283011	19990331
PRIORITY APPLN. INFO.:				
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			US 1998-12135	A 19980122
			WO 1999-US1283	W 19990121

L20 ANSWER 29 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:581873 HCAPLUS

DOCUMENT NUMBER: 135:152802

TITLE: Preparation of 4-(1H-pyrazol-3-yl)-1H-pyrrole-2-
carboxylic acid derivatives as **inhibitors** of
ERK

INVENTOR(S): Green, Jeremy; Cao, Jingrong; Hale, Michael; Baker,
Christopher; Maltais, Francois; Janetka, James;
Mulligan, Michael; Bemis, Guy; Xie, Xiaoling; Straub,
Judith; Tang, Qing; Mashall, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001057022	A2	20010809	WO 2001-US3911	20010205
WO 2001057022	A3	20020307		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001004424	A	20020108	BR 2001-4424	20010205
EP 1200422	A2	20020502	EP 2001-908911	20010205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522163	T2	20030722	JP 2001-557854	20010205
NO 2001004837	A	20011204	NO 2001-4837	20011004
US 2003040536	A1	20030227	US 2001-972437	20011005
US 6528509	B2	20030304		
LT 4981	B	20030127	LT 2001-103	20011017
BG 106054	A	20020628	BG 2001-106054	20011026
US 6593357	B1	20030715	US 2002-225719	20020822
PRIORITY APPLN. INFO.:			US 2000-180506P	P 20000205
			US 2000-191956P	P 20000324
			US 2000-242935P	P 20001024
			WO 2001-US3911	W 20010205
			US 2001-972437	A3 20011005

OTHER SOURCE(S): MARPAT 135:152802

L20 ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:565012 HCAPLUS
 DOCUMENT NUMBER: 135:137521
 TITLE: Preparation of 4-[N-(5-pyrimidyl)amino]quinolines as **inhibitors of aurora 2 kinase**
 INVENTOR(S): Mortlock, Andrew Austen; Jung, Frederic Henri
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055116	A2	20010802	WO 2001-GB245	20010124
WO 2001055116	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1294709 A2 20030326 EP 2001-946855 20010124

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003520855 T2 20030708 JP 2001-555058 20010124

US 2003105129 A1 20030605 US 2002-182454 20020726

PRIORITY APPLN. INFO.: EP 2000-400228 A 20000128

WO 2001-GB245 W 20010124

OTHER SOURCE(S): MARPAT 135:137521

L20 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228867 HCAPLUS

DOCUMENT NUMBER: 134:266318

TITLE: Preparation of quinazolines as **aurora 2 kinase inhibitors**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021597	A1	20010329	WO 2000-GB3593	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014137	A	20020521	BR 2000-14137	20000919
EP 1218355	A1	20020703	EP 2000-960850	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509500	T2	20030311	JP 2001-524976	20000919
EE 200200118	A	20030415	EE 2002-118	20000919
AU 762697	B2	20030703	AU 2000-73019	20000919
BG 106526	A	20021031	BG 2002-106526	20020318
NO 2002001400	A	20020506	NO 2002-1400	20020320
PRIORITY APPLN. INFO.:				
GB 1999-22171 A 19990921				
WO 2000-GB3593 W 20000919				
OTHER SOURCE(S): MARPAT 134:266318				
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L20 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:228866 HCAPLUS

DOCUMENT NUMBER: 134:266317

TITLE: Preparation of quinazolines as **aurora 2 kinase inhibitors**

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918

OTHER SOURCE(S): MARPAT 134:266317
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:228865 HCAPLUS
DOCUMENT NUMBER: 134:266316
TITLE: Preparation of quinazoline derivatives, method of preparation and use in **inhibiting aurora 2 kinase**
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021595	A1	20010329	WO 2000-GB3562	20000918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
BR 2000014136	A	20020521	BR 2000-14136	20000918
EP 1218357	A1	20020703	EP 2000-962682	20000918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003509498	T2	20030311	JP 2001-524974	20000918
EE 200200148	A	20030415	EE 2002-148	20000918

NO 2002001395 A 20020515 NO 2002-1395 20020320
 BG 106535 A 20021229 BG 2002-106535 20020320
 PRIORITY APPLN. INFO.: GB 1999-22173 A 19990921
 WO 2000-GB3562 W 20000918
 OTHER SOURCE(S): MARPAT 134:266316
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:228864 HCAPLUS
 DOCUMENT NUMBER: 134:252355
 TITLE: Preparation of quinazolines as **aurora**
2 kinase inhibitors
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
 SOURCE: PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000014133	A	20020611	BR 2000-14133	20000918
EP 1218356	A1	20020703	EP 2000-962677	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509497	T2	20030311	JP 2001-524973	20000918
EE 200200149	A	20030415	EE 2002-149	20000918
AU 763242	B2	20030717	AU 2000-74325	20000918
BG 106491	A	20021229	BG 2002-106491	20020307
NO 2002001401	A	20020521	NO 2002-1401	20020320
PRIORITY APPLN. INFO.:				
GB 1999-22152 A 19990921				
GB 1999-22156 A 19990921				
GB 1999-22159 A 19990921				
WO 2000-GB3556 W 20000918				
OTHER SOURCE(S): MARPAT 134:252355				
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT				

L20 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:763599 HCAPLUS
 DOCUMENT NUMBER: 134:27814
 TITLE: The mitotic serine/threonine **kinase**
Aurora2/AIK is regulated by phosphorylation and
 degradation
 AUTHOR(S): Walter, Annette O.; Seghezzi, Wolfgang; Korver,
 Wouter; Sheung, Julie; Lees, Emma
 CORPORATE SOURCE: DNAX Research Institute, Palo Alto, CA, 94304, USA
 SOURCE: Oncogene (2000), 19(42), 4906-4915
 CODEN: ONCNES; ISSN: 0950-9232
 PUBLISHER: Nature Publishing Group
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L20 ANSWER 36 OF 37 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC. on STN
ACCESSION NUMBER: 2000:312635 BIOSIS
DOCUMENT NUMBER: PREV200000312635
TITLE: Degradation of human Aurora2 protein **kinase** by
the anaphase-promoting complex-ubiquitin-proteasome
pathway.
AUTHOR(S): Honda, Kei; Mihara, Hirotsugu; Kato, Yuzo; Yamaguchi, Akio;
Tanaka, Hirofumi; Yasuda, Hideyo; Furukawa, Koichi; Urano,
Takeshi [Reprint author]
CORPORATE SOURCE: Department of Biochemistry II, Nagoya University School of
Medicine, 65 Tsurumai-machi, Showa-ku, Nagoya, 466-0065,
Japan
SOURCE: Oncogene, (1 June, 2000) Vol. 19, No. 24, pp. 2812-2819.
print.
CODEN: ONCNES. ISSN: 0950-9232.
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LANGUAGE: English
ENTRY DATE: Entered STN: 19 Jul 2000
Last Updated on STN: 7 Jan 2002

L20 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:487404 HCAPLUS
DOCUMENT NUMBER: 131:126397
TITLE: AURORA proteins with sequence similarity to protein
tyrosine **kinases** and cDNAs encoding them and
their diagnostic and therapeutic uses
INVENTOR(S): Plowman, Gregory D.; Mossie, Kevin
PATENT ASSIGNEE(S): Sugan, Inc., USA
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937788	A2	19990729	WO 1999-US1283	19990121
WO 9937788	A3	19990930		
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 2002081578	A1	20020627	US 1998-12135	19980122
CA 2318352	AA	19990729	CA 1999-2318352	19990121
AU 9925605	A1	19990809	AU 1999-25605	19990121
EP 1051500	A2	20001115	EP 1999-905450	19990121
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
JP 2002508937	T2	20020326	JP 2000-528695	19990121
PRIORITY APPLN. INFO.:			US 1998-12135	A 19980122
			US 1995-8809P	P 19951218
			US 1996-23943P	P 19960814
			US 1996-755728	A2 19961125
			US 1998-5268	B2 19980109
			WO 1999-US1283	W 19990121

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(FILE 'HOME' ENTERED AT 13:27:26 ON 26 NOV 2003)

FILE 'MEDLINE, EMBASE, BIOSIS, BIOTECHDS, SCISEARCH, HCAPLUS, NTIS,
LIFESCI' ENTERED AT 13:27:55 ON 26 NOV 2003

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L1      23587 S AUR##
L2      2417 S L1 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L3      454118 S (COLON OR BREAST OR OVARIAN OR BLADDER) AND TUMOR?
L4      135512 S (GLIOMA? OR MEDULLOBLASTOMA? OR CHONDROSARCOMA? OR PANCREATIC
L5      576263 S L3 OR L4
L6      26 S L2 AND L5
L7      12 DUP REM L6 (14 DUPLICATES REMOVED)
L8      144 S "AUR 1" OR "AUR 2" OR "AURORA 1" OR "AURORA 2"
L9      54 S L8 AND (MODULATOR? OR ACTIVAT? OR INHIBIT?)
L10     45 DUP REM L9 (9 DUPLICATES REMOVED)
L11     37 S L10 AND (TREAT? OR DISEASE?)
L12     37 DUP REM L11 (0 DUPLICATES REMOVED)
        E PLOWMAN G/AU
L13     657 S E3-E10
        E MOSSIE K/AU
L14     73 S E3-E5
L15     8 S L8 AND L14
L16     7 DUP REM L15 (1 DUPLICATE REMOVED)
L17     49 S L9 AND KINASE?
L18     42 DUP REM L17 (7 DUPLICATES REMOVED)
L19     37 S L18 AND (TREAT? OR DISEASE?)
L20     37 DUP REM L19 (0 DUPLICATES REMOVED)
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	Issue Date	Pages	Document ID	Title
1	20020627	43	US 20020081578 A1	DIAGNOSIS AND TREATMENT OF AUR1 AND/OR AUR2 RELATED DISORDERS #109/012135
2	20010327	43	US 6207401 B1	Diagnosis and treatment of AUR-1 and/or AUR-2 related disorders
3	19991026	28	US 5972676 A	Diagnosis and treatment of AUR-1 and/or AUR-2 related disorders
4	19991005	28	US 5962312 A	Diagnosis and treatment of AUR-1 and/or AUR-2 related disorders

	Issue Date	Pages	Document ID	Title
1	20031002	101	US 20030187002 A1	Substituted quinazoline derivatives and their use as inhibitors
2	20030911	115	US 20030171357 A1	Bicyclo-pyrazoles active as kinase inhibitors, process for their preparation and pharmaceutical compositions comprising them
3	20030703	55	US 20030125361 A1	Substituted pyrazolyl benzenesulfamide compounds for the treatment of inflammation
4	20030626	55	US 20030119856 A1	Thiazole compounds useful as inhibitors of protein kinase
5	20030619	62	US 20030114432 A1	Substituted pyrazolyl compounds for the treatment of inflammation

	Issue Date	Pages	Document ID	Title
6	20030612	38	US 20030109550 A1	Substituted indazole compounds for the treatment of inflammation
7	20030605	25	US 20030105129 A1	Chemical compounds
8	20030605	154	US 20030105090 A1	Pyrazole compounds useful as protein kinase inhibitors
9	20030501	199	US 20030083327 A1	Pyrazole compounds useful as protein kinase inhibitors
10	20030424	147	US 20030078275 A1	Pyrazole compounds useful as protein kinase inhibitors
11	20030424	209	US 20030078166 A1	Pyrazole compounds useful as protein kinase inhibitors

	Issue Date	Pages	Document ID	Title
12	20030417	32	US 20030073692 A1	Amino-phthalazinone derivatives active as kinase inhibitors, process for their preparation and pharmaceutical compositions containing them
13	20030417	221	US 20030073687 A1	Pyrazole compounds useful as protein kinase inhibitors
14	20030403	231	US 20030064982 A1	Pyrazole compounds useful as protein kinase inhibitors
15	20030403	235	US 20030064981 A1	Pyrazole compounds useful as protein kinase inhibitors
16	20030320	154	US 20030055068 A1	Pyrazole compounds useful as protein kinase inhibitors
17	20030320	244	US 20030055044 A1	Pyrazole compounds useful as protein kinase inhibitors
18	20030220	152	US 20030036543 A1	Pyrazole compounds useful as protein kinase inhibitors

	Issue Date	Pages	Document ID	Title
19	20030130	34	US 20030022885 A1	Pyrazole compounds useful as protein kinase inhibitors
20	20030102	33	US 20030004164 A1	Pyrazole compounds useful as protein kinase inhibitors
21	20030102	155	US 20030004161 A1	Pyrazole compounds useful as protein kinase inhibitors
22	20031125	137	US 6653301 B2	Pyrazole compounds useful as protein kinase inhibitors

	Issue Date	Pages	Document ID	Title
23	20031125	139	US 6653300 B2	Pyrazole compounds useful as protein kinase inhibitors
24	20031028	223	US 6638926 B2	Pyrazole compounds useful as protein kinase inhibitors
25	20030902	222	US 6613776 B2	Pyrazole compounds useful as protein kinase inhibitors

	Issue Date	Pages	Document ID	Title
26	20030826	224	US 6610677 B2	Pyrazole compounds useful as protein kinase inhibitors
27	20020924	13	US 6455559 B1	Phenylacetamido-pyrazole derivatives, process for their preparation and their use as antitumor agents

	L #	Hits	Search Text
1	L1	48	"aur 1" or "aur 2" or "aurora 1" or "aurora 2"
2	L2	287019	modulator\$2 or inhibitor\$2 or activator\$2
3	L3	32	11 same l2
4	L4	8639	(colon or breast or ovarian or bladder) adj tumor\$2
5	L5	1184	(glioma\$3 or meduloblastoma\$2 or chondrosarcoma or pancreatic) adj tumor\$2
6	L6	9249	14 or 15
7	L7	0	13 same l6
8	L8	967895	treat\$4 or disease\$2
9	L9	28	13 same l8
10	L10	42039	kinase\$2
11	L11	27	19 same l10
12	L12	83	plowman.in.

	L #	Hits	Search Text
13	L13	5	mossie.in.
14	L14	84	l12 or l13
15	L15	4	l1 and l14